Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptasxm1624

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	OCT 02	CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	3	OCT 19	BEILSTEIN updated with new compounds
NEWS	4	NOV 15	Derwent Indian patent publication number format enhanced
NEWS	5	NOV 19	WPIX enhanced with XML display format
NEWS	6	NOV 30	ICSD reloaded with enhancements
NEWS	7	DEC 04	LINPADOCDB now available on STN
NEWS	8	DEC 14	BEILSTEIN pricing structure to change
NEWS	9	DEC 17	USPATOLD added to additional database clusters
NEWS	10	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS	11	DEC 17	DGENE now includes more than 10 million sequences
NEWS	12	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	13	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS	14	DEC 17	CA/CAplus enhanced with new custom IPC display formats
NEWS	15	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS	16	JAN 02	STN pricing information for 2008 now available
NEWS	17	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	18	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	19	JAN 28	MARPAT searching enhanced
NEWS	20	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	21	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	22	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	23	FEB 08	STN Express, Version 8.3, now available
NEWS	24	FEB 20	PCI now available as a replacement to DPCI
NEWS	25	FEB 25	IFIREF reloaded with enhancements
NEWS	26	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS	27	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

  All use of STN is subject to the provisions of the STN Customer
  agreement. Please note that this agreement limits use to scientific
  research. Use for software development or design or implementation
  of commercial gateways or other similar uses is prohibited and may
  result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 19:25:26 ON 10 MAR 2008

=> fil reg
COST IN U.S. DOLLARS   SINCE FILE   TOTAL
                                                         ENTRY   SESSION
FULL ESTIMATED COST   0.21   0.21

FILE 'REGISTRY' ENTERED AT 19:25:41 ON 10 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 9 MAR 2008 HIGHEST RN 1007215-88-4
DICTIONARY FILE UPDATES: 9 MAR 2008 HIGHEST RN 1007215-88-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

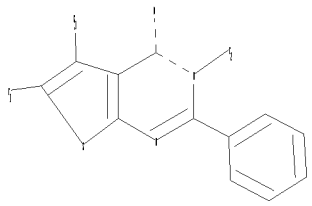
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

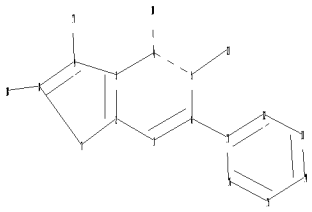
  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10582825b.str





chain nodes :
10 17 18 21
ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15 16
chain bonds :
4-10 5-21 6-11 8-18 9-17
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 11-12 11-16 12-13 13-14 14-15
15-16
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-10 5-6 5-21 8-18 9-17
exact bonds :
2-7 3-9 6-11 7-8 8-9
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16
isolated ring systems :
containing 1 : 11 :

G1:H,X,Ak

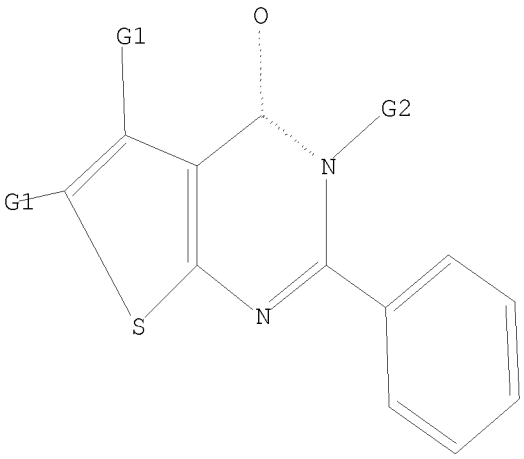
G2:H,Cy,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 21:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS

L1 STR



G1 H,X,Ak

G2 H,Cy,Ak

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1 sam
SAMPLE SEARCH INITIATED 19:25:57 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      333 TO ITERATE

100.0% PROCESSED      333 ITERATIONS                              17 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         5566 TO     7754
PROJECTED ANSWERS:              93 TO      587

L2           17 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 19:26:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     6828 TO ITERATE

100.0% PROCESSED     6828 ITERATIONS                            292 ANSWERS
SEARCH TIME: 00.00.01

L3          292 SEA SSS FUL L1

=> fil capl
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     178.36     178.57

FILE 'CAPLUS' ENTERED AT 19:26:06 ON 10 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)
```

FILE COVERS 1907 - 10 Mar 2008 VOL 148 ISS 11
FILE LAST UPDATED: 9 Mar 2008 (20080309/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

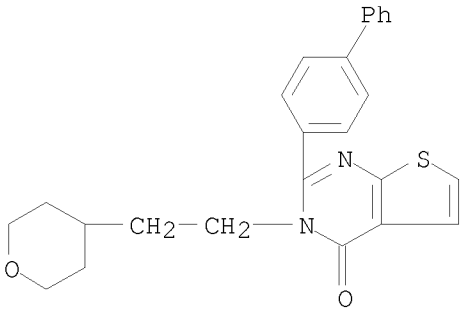
```
=> s l3
L4          39 L3

=> s l4 not (2008/so or 2007/so or 2006/so)
        120121 2008/SO
        883951 2007/SO
        932881 2006/SO
L5          37 L4 NOT (2008/SO OR 2007/SO OR 2006/SO)

=> d l4 ibib hitstr abs 1-
YOU HAVE REQUESTED DATA FROM 39 ANSWERS - CONTINUE? Y/(N):y
```

L4 ANSWER 1 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:127832 CAPLUS
DOCUMENT NUMBER: 148:215073
TITLE: Preparation of fused pyrimidinone derivatives and their use as ligands of CB2 receptors
INVENTOR(S): Poitout, Lydie; Sackur, Carole; Ferrandis, Eric
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications Scientifique (S.C.R.A.S.), Fr.
SOURCE: PCT Int. Appl., 50pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

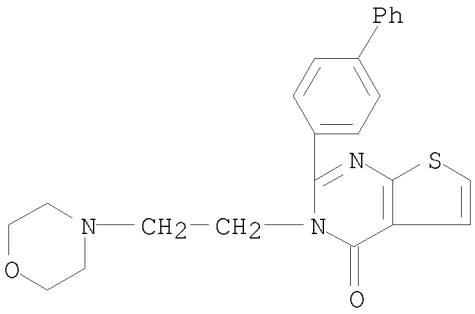
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008012413	A2	20080131	WO 2007-FR1205	20070713
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
FR 2904318	A1	20080201	FR 2006-6864	20060727
PRIORITY APPLN. INFO.:			FR 2006-6864 A	20060727

IT 1004785-40-3P 1004785-41-4P 1004785-42-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused pyrimidinone derivs. and their use as ligands of CB2 receptors)

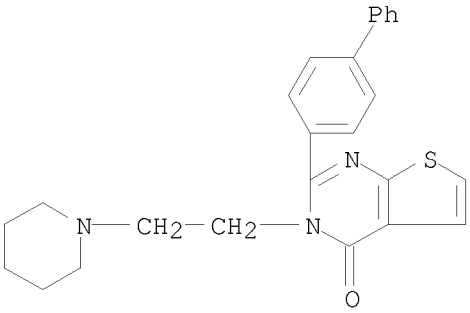
RN 1004785-40-3 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(3H)-one, 2-[1,1'-biphenyl]-4-yl-3-[2-(tetrahydro-2H-pyran-4-yl)ethyl]- (CA INDEX NAME)



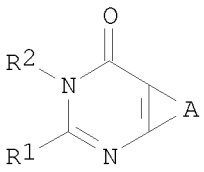
RN 1004785-41-4 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(3H)-one, 2-[1,1'-biphenyl]-4-yl-3-[2-(4-morpholinyl)ethyl]- (CA INDEX NAME)



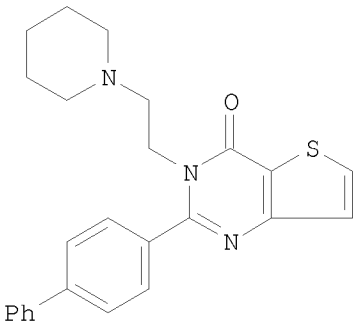
RN 1004785-42-5 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(3H)-one, 2-[1,1'-biphenyl]-4-yl-3-[2-(1-piperidinyl)ethyl]- (CA INDEX NAME)



GI



I



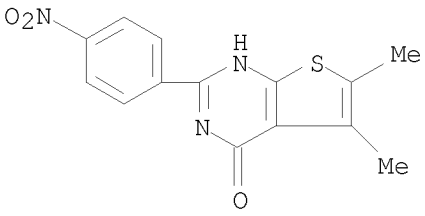
II

AB Title compds. I [R1 = anthracenyl, -Y1V1Z1, 9H-carbazol-3-yl, anthraquinon-2-yl, etc.; Y1 = (un)substituted (hetero)cycloalkylene, (hetero)arylene; V1 = a covalent bond, O, S, NH, CO, alkylene; Z1 = (un)substituted (hetero)cycloalkyl, (hetero)aryl; R2 = (CH2)2R2'; R2' = (un)substituted hetero/ bi/cycloalkyl, cyclohexenyl, (hetero)aryl; A = (un)substituted unsatd., (non)aromatic mono- or bicyclic ring containing a heteroatom selected from O or S fused with the pyrimidinone ring; and their racemates, enantiomers, and their pharmaceutically acceptable salts] were prepared as ligands of CB2 receptors for treatment of the diseases in which one or more cannabinoid receptors are involved. Thus, acylation of Me 3-aminothiophene-2-carboxylate with biphenyl-4-carbonyl chloride, saponification, coupling of the acid with [2-(piperidin-1-yl)ethyl]amine, cyclization of the diamide in the presence of chlorotrimethylsilane and acidulation of the free base (no data) gave II•xHCl. Selected I inhibited the binding of [3H]-CP55940 to CHO-K1 cells expressing the CB2 receptors with Ki < 0.5 μM. I are useful for treating neoplasm, pain, inflammation, immune, gastrointestinal and neurodegenerative diseases, etc. Pharmaceutical compns. containing pyrimidinones I are also described.

L4 ANSWER 2 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:10232 CAPLUS
DOCUMENT NUMBER: 148:93209
TITLE: Protein phosphatase inhibitors
INVENTOR(S): Yi, Taolin
PATENT ASSIGNEE(S): The Cleveland Clinic Foundation, USA
SOURCE: PCT Int. Appl., 148pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008002641	A2	20080103	WO 2007-US15002	20070628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2008051464	A1	20080228	US 2007-823505	20070628

PRIORITY APPLN. INFO.: US 2006-817017P P 20060628
OTHER SOURCE(S): MARPAT 148:93209
IT 357621-15-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antitumor protein phosphatase inhibitors)
RN 357621-15-9 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 5,6-dimethyl-2-(4-nitrophenyl)- (CA INDEX NAME)



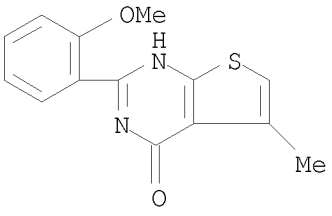
AB A method of inhibiting protein tyrosine phosphatase in a subject includes administering to the subject a therapeutically effective amount of at least one benzo-1,4-quinone, Ph isothiazolone, or analog thereof to the subject.

L4 ANSWER 3 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1419792 CAPLUS
DOCUMENT NUMBER: 148:55089
TITLE: Preparation of thienopyrimidines useful as modulators of ion channels
INVENTOR(S): Fanning, Lev T. D.; Joshi, Pramod; Krenitsky, Paul; Termin, Andreas; Wilson, Dean; Zhang, Yulian
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 71pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

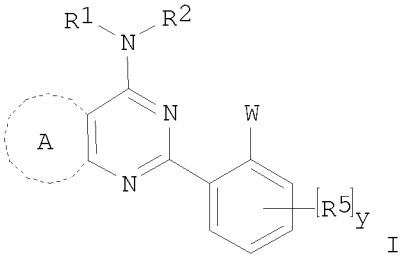
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007287717	A1	20071213	US 2007-811909	20070612
WO 2007146284	A2	20071221	WO 2007-US13776	20070612

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

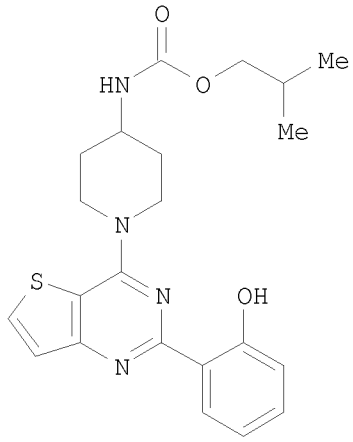
PRIORITY APPLN. INFO.: US 2006-812765P P 20060612
OTHER SOURCE(S): MARPAT 148:55089
IT 960041-54-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thienopyrimidine compds. as modulators of ion channels useful in treatment of diseases)
RN 960041-54-7 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-(2-methoxyphenyl)-5-methyl- (CA INDEX NAME)



GI



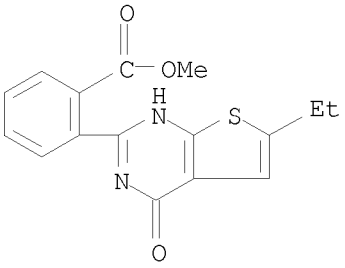
I



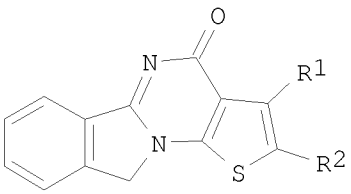
II

AB The title compds. I [W = halo, CHF2, CH2F, (un)substituted OH, SH, NH2; NR1R2 = (un)substituted 3-8 membered monocyclic, saturated or partially unsatd. ring having 0-3 addnl. heteroatoms selected from N, S or O; ring A = (un)substituted thiophene or benzo(or pyridino) fused thiophene; y = 0-4; R5 = QR (wherein Q = a bond, alkylidene, etc.; R = H, halo, NO2, CN, etc.)], useful as inhibitors of ion channels, were prepared E.g., a multi-step synthesis of II, starting from 3-aminothiophene-2-carboxamide and 2-methoxybenzoyl chloride, was given. Exemplified compds. I (including II) were tested against NaV 1.8 channel (data given). The invention also provides pharmaceutically acceptable compns. comprising the compds. I and methods of using the compns. in the treatment of various disorders.

L4 ANSWER 4 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:95258 CAPLUS
DOCUMENT NUMBER: 147:406785
TITLE: Modification of β-cyclodextrins with heterocyclic compounds - reaction of 4,10-dihydrothieno[3',2':5,6]pyrimido[2,1-a]isoindol-4-one derivatives with thionyl chloride and study of their molecular association with-β-cyclodextrin
AUTHOR(S): Voitenko, Z. V.; Rudiuk, S. A.; Riabov, S. V.; Roshal, A. D.; Grigorovich, A. V.
CORPORATE SOURCE: Kiev Taras Shevchenko National University, Kiev, 01033, Ukraine
SOURCE: Polimernii Zhurnal (2006), 28(4), 303-307
CODEN: PZOHAP
PUBLISHER: NAN Ukraini, Institut Khimii Visokomolekulyarnikh Spoluk
DOCUMENT TYPE: Journal
LANGUAGE: Ukrainian
IT 951016-47-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(chlorination and hydrolytic ring-opening of thieno[3',2':5,6]pyrimido[2,1-a]isoindolones in preparation of 2-[4(3H)-oxothieno[2,3-d]pyrimidin-2-yl]benzoates)
RN 951016-47-0 CAPLUS
CN Benzoic acid, 2-(6-ethyl-1,4-dihydro-4-oxothieno[2,3-d]pyrimidin-2-yl)-, methyl ester (CA INDEX NAME)



GI



I

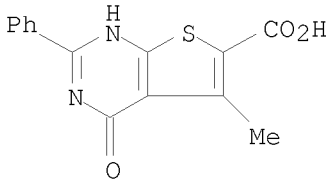
AB A range of thieno[3',2':5,6]pyrimido[2,1-a]isoindolones I [4a-j; R1, R2 = ph, Me, 4-BrC6H4, 4-MeC6H4, 2,4-Me2C6H3, CO2Et, Et; R1-R2 = (CH2)4, CH2CHtBuCH2CH2] were chlorinated by SOCl2 yielding 10,10-dichlorides, which upon hydrolysis gave 2-[4(3H)-oxothieno[2,3-d]pyrimidin-2-

yl]benzoates. Compds. 4 form mol. assocs. with β-cyclodextrin, which leads to solubilization of compds. 4 in aqueous solns.

L4 ANSWER 5 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1338295 CAPLUS
DOCUMENT NUMBER: 146:81884
TITLE: Preparation of thienopyrimidine carboxylic acids as phosphodiesterase PDE9 inhibitors
INVENTOR(S): Gotanda, Kotaro; Shinbo, Atsushi; Nakano, Youichi; Kobayashi, Hideo; Okada, Makoto; Asagarasu, Akira
PATENT ASSIGNEE(S): Aska Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 122pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

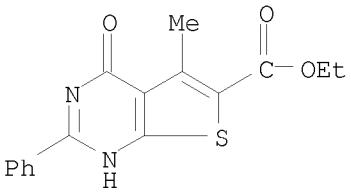
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2006135080	A1	20061221	WO 2006-JP312203		20060613
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
AU 2006258461	A1	20061221	AU 2006-258461		20060613
PRIORITY APPLN. INFO.:			JP 2005-173898	A	20050614
			WO 2006-JP312203	W	20060613

OTHER SOURCE(S): MARPAT 146:81884
IT 917089-57-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of thienopyrimidine carboxylic acids as phosphodiesterase PDE9 inhibitors)
RN 917089-57-7 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 1,4-dihydro-5-methyl-4-oxo-2-phenyl- (CA INDEX NAME)

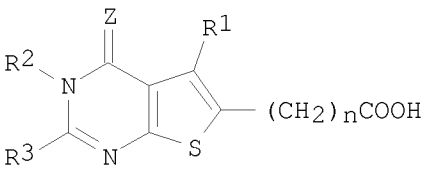


IT 148838-69-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thienopyrimidine carboxylic acids as phosphodiesterase PDE9 inhibitors)

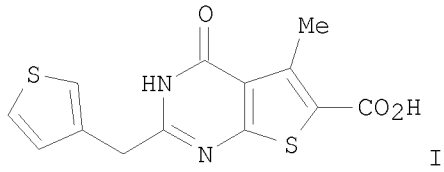
RN 148838-69-1 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 1,4-dihydro-5-methyl-4-oxo-2-phenyl-, ethyl ester (CA INDEX NAME)



GI



I



II

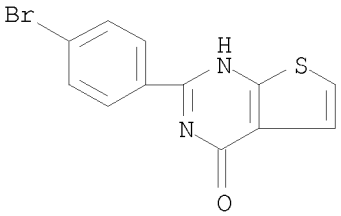
AB Title compds. I or salts thereof [wherein R1 = H, alkyl, alkoxyalkyl or haloalkyl; R2 = H, alkyl, phenylalkyl or amino; R3 = alkyl, alkenyl, alkylthio, etc.; R2 and R3 may together form a tetramethylene group; Z = S or O; n = 0-4, with limitations] were prepared as phosphodiesterase PDE9 inhibitors. For instance, cyclization of 5-amino-3-methylthiophene-2,4-dicarboxylic acid di-Et ester with 3-thiopheneacetonitrile in HCl-dioxane followed by ester hydrolysis under basic condition gave thienopyrimidine II. This product showed strong inhibition for PDE9 and weak inhibition for PDE5 with IC50 values of 22 nM and 17784 nM, resp. Other biol. data were given. Therefore, the invented compds. are useful in the prevention or treatment of overactive bladder, frequent urination, incontinence, dysuria associated with prostatomegaly, urinary calculus, Alzheimer disease, chronic obstructive pulmonary disease, myocardial infarction, thrombosis, diabetes and so on.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

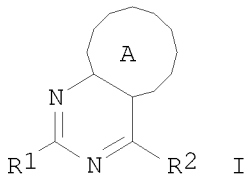
L4 ANSWER 6 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:367075 CAPLUS
DOCUMENT NUMBER: 144:412534
TITLE: Preparation of fused pyrimidine derivatives as insulin secretion enhancers
INVENTOR(S): Yonetoku, Yasuhiro; Negoro, Kenji; Onda, Kenichi; Hayakawa, Masahiko; Sasuga, Daisuke; Nigawara, Takahiro; Iikubo, Kazuhiko; Moritomo, Hiroyuki; Yoshida, Shigeru; Ohishi, Takahide
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040966	A1	20060420	WO 2005-JP18412	20051005
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2583259	A1	20060420	CA 2005-2583259	20051005
EP 1806347	A1	20070711	EP 2005-790537	20051005
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 2007249587	A1	20071025	US 2007-576889	20070409
PRIORITY APPLN. INFO.:			JP 2004-295559 A	20041008
			WO 2005-JP18412 W	20051005

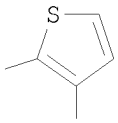
OTHER SOURCE(S): MARPAT 144:412534
IT 884534-77-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fused pyrimidine derivs. as insulin secretion enhancers for treatment of diabetes, obesity, etc.)
RN 884534-77-4 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-(4-bromophenyl)- (CA INDEX NAME)

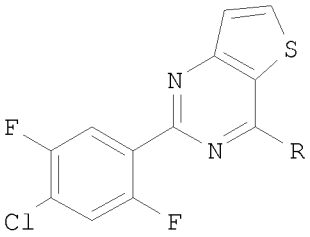


GI



I

Q1 = 



II

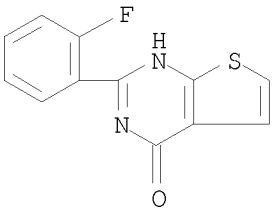
AB Title compds. I [A = Q1, etc. which are optionally substituted on carbon with alkyl, -O-alkyl, halo, etc.; R1 = Ph substituted with at least one halo; R2 = -NR21R22, (un)substituted cyclic amino; R21, R22 = H, alkyl, alkenyl, etc.; further details on R1 and R2 are given.], useful for the treatment of diabetes, obesity, etc., were prepared For example, reaction of 4-chloro-2-(4-chloro-2,5-difluorophenyl)thieno[3,2-d]pyrimidine, e.g., prepared from 4-chloro-2,5-difluorobenzoic acid in 4 steps, with hexamethyleneimine followed by treatment with HCl afforded compound II hydrochloride [R = azepan-1-yl]. Compound II hydrochloride [R = 4-ethoxycarbonylmethylpiperidin-1-yl] exhibited the activity of 284% in accelerating insulin secretion.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

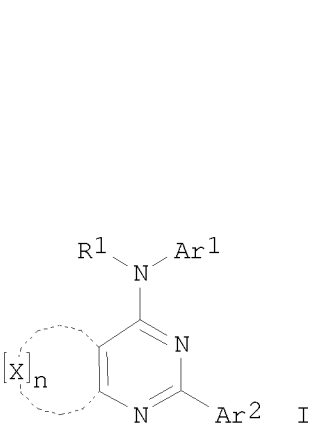
L4 ANSWER 7 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:319101 CAPLUS
DOCUMENT NUMBER: 144:370119
TITLE: Preparation of HCV inhibiting bi-cyclic pyrimidines
INVENTOR(S): Simmen, Kenneth Alan; Lin, Tse-I.; Lenz, Oliver; Surleraux, Dominique Louis Nestor Ghislain; Raboisson, Pierre Jean-Marie Bernard
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2006035061	A1	20060406	WO 2005-EP54912		20050929
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
AU 2005288858	A1	20060406	AU 2005-288858		20050929
CA 2577745	A1	20060406	CA 2005-2577745		20050929
EP 1799218	A1	20070627	EP 2005-789523		20050929
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU					
CN 101031304	A	20070905	CN 2005-80033106		20050929
IN 2007DN01428	A	20070803	IN 2007-DN1428		20070222
MX 200702450	A	20070504	MX 2007-2450		20070228
US 2007155716	A1	20070705	US 2007-684288		20070309
KR 2007058602	A	20070608	KR 2007-708247		20070411
NO 2007002235	A	20070628	NO 2007-2235		20070430
PRIORITY APPLN. INFO.:			EP 2004-104815	A	20040930
			EP 2005-102810	A	20050408
			WO 2005-EP54912	W	20050929
			WO 2005-US54912	W	20050929

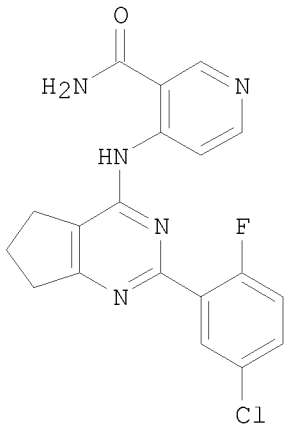
OTHER SOURCE(S): MARPAT 144:370119
IT 773140-10-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of HCV inhibiting bi-cyclic pyrimidines)
RN 773140-10-6 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-(2-fluorophenyl)- (CA INDEX NAME)



GI



I



II

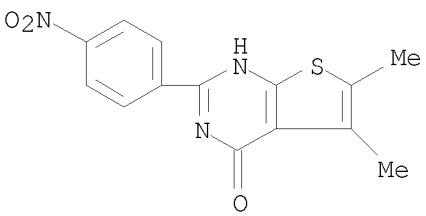
AB The title compds. I [the fused ring bridging positions 5 and 6 of the pyrimidine ring is an optionally substituted saturated, unsatd. or aromatic ring containing 4-7 members; X = N, O, S; n = 0-3; Ar1, Ar2 = (un)substituted 5-12 membered (hetero)aryl containing one or more O, S, and/or N; R1 = H, (un)substituted alkyl, alkenyl, alkynyl; with proviso], useful as inhibitors of HCV replication, were prepared E.g., a multi-step synthesis of II, starting from Me 2-oxocyclopentanecarboxylate and 2-fluoro-5-chlorobenzamidine, was given. II showed EC50 of 0.4 μM in HCV replicon assay. In addition, the present invention relates to the use of of compds. I in pharmaceutical compns. aimed to treat or combat HCV infections, and processes for preparation of such pharmaceutical compns. The present invention also concerns combinations of the present bi-cyclic pyrimidines with other anti-HCV agents.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:213180 CAPLUS
DOCUMENT NUMBER: 144:286156
TITLE: Methods and compositions related to the inhibition of viruses using thiophene derivative RNase H inhibitors
INVENTOR(S): Beutler, John; Legrice, Stuart F. J.; Budihas, Scott R.; Wamiru, Anthony; Gardella, Roberta; Wilson, Jennifer; Goncharova, Katya
PATENT ASSIGNEE(S): Government of the United States of America as Represented by the Secretary Department of Health and Human Services, USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

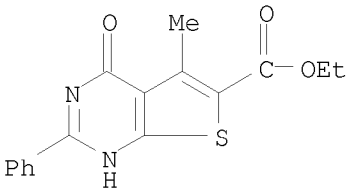
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006026619	A2	20060309	WO 2005-US30846	20050830
WO 2006026619	A3	20060504		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005279845	A1	20060309	AU 2005-279845	20050830
CA 2579089	A1	20060309	CA 2005-2579089	20050830
EP 1796662	A2	20070620	EP 2005-803872	20050830
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: US 2004-605165P P 20040830
WO 2005-US30846 W 20050830
OTHER SOURCE(S): MARPAT 144:286156
IT 357621-15-9, NSC 732665
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(thiophene derivative RNase H inhibitors for inhibition of viruses)
RN 357621-15-9 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 5,6-dimethyl-2-(4-nitrophenyl)- (CA INDEX NAME)

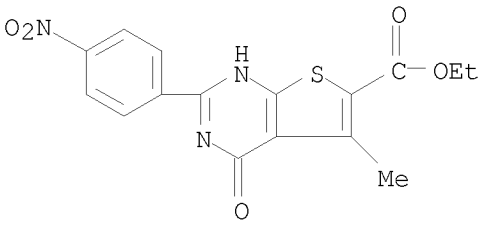


AB The invention discloses methods and compns. for the treatment of viral infections using thiophene derivative RNase H inhibitors.

L4 ANSWER 9 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:207315 CAPLUS
DOCUMENT NUMBER: 146:121913
TITLE: Solid supported synthesis of new thieno[2,3-d]pyrimidines
AUTHOR(S): Kidwai, M.; Bansal, V.; Thakur, R.
CORPORATE SOURCE: Green Chemistry Research Laboratory, Department of Chemistry, University of Delhi, Delhi, 110007, India
SOURCE: Journal of Sulfur Chemistry (2005), Volume Date 2006, 27(1), 57-63
CODEN: JSCOFC; ISSN: 1741-5993
PUBLISHER: Taylor & Francis Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:121913
IT 148838-69-1P 900475-25-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of thieno[2,3-d]pyrimidines by cyclization of aminothiophenecarbonitriles with aromatic and heterocyclic carboxylic acids)
RN 148838-69-1 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 1,4-dihydro-5-methyl-4-oxo-2-phenyl-, ethyl ester (CA INDEX NAME)

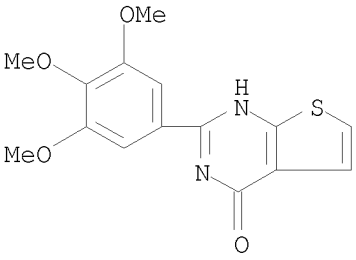


RN 900475-25-4 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 1,4-dihydro-5-methyl-2-(4-nitrophenyl)-4-oxo-, ethyl ester (CA INDEX NAME)

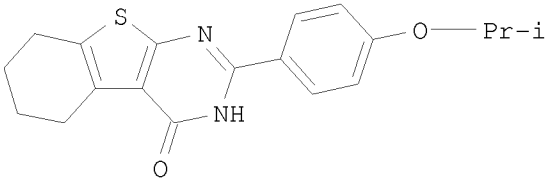


AB A new and practical procedure for the synthesis of novel thieno[2,3-d]pyrimidines is described here. Thieno[2,3-d]pyrimidines were readily obtained from the corresponding aromatic and heterocyclic carboxylic acids using Montmorillonite K-10 dry media under microwave irradiation and solventless conditions.
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:636182 CAPLUS
DOCUMENT NUMBER: 143:306268
TITLE: Inhibition of tumor cell proliferation by thieno[2,3-d]pyrimidin-4(1H)-one-based analogs
AUTHOR(S): Wang, Yanong D.; Johnson, Steven; Powell, Dennis; McGinnis, John P.; Miranda, Miriam; Rabindran, Sridhar K.
CORPORATE SOURCE: Chemical and Screening Sciences, Wyeth Research, Pearl River, NY, 10965, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(16), 3763-3766
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:306268
IT 863718-37-0P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, antitumor activity, and SAR of aryl(thieno)pyrimidinones and analogs using cyclization of benzaldehydes with aminothiophene derivs. as key step)
RN 863718-37-0 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



GI



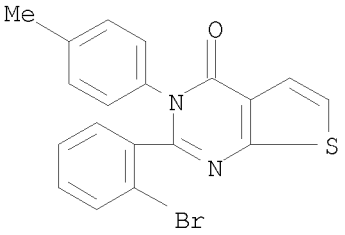
I

AB On the basis of a screening lead from an assay using a pair of p21 isogenic cell lines (p21-proficient cells and p21-deficient cells) to identify chemoselective agents, a series of novel thieno[2,3-d]pyrimidin-4(1H)-one-based analogs, e. g. I, was prepared Some analogs inhibited the growth of human colon tumor cells.
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

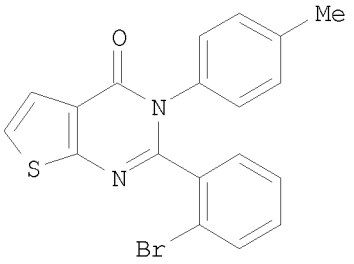
L4 ANSWER 11 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:588995 CAPLUS
DOCUMENT NUMBER: 143:97395
TITLE: 2-Phenylthienylpyrimidinones preparation as mitotic kinesin inhibitors
INVENTOR(S): Arrington, Kenneth L.; Fraley, Mark E.; Hartman, George D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005061518	A1	20050707	WO 2004-US42604		20041215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004303876	A1	20050707	AU 2004-303876		20041215
CA 2547746	A1	20050707	CA 2004-2547746		20041215
EP 1697381	A1	20060906	EP 2004-814749		20041215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS					
CN 1898249	A	20070117	CN 2004-80038126		20041215
JP 2007514757	T	20070607	JP 2006-545513		20041215
IN 2006DN03002	A	20070803	IN 2006-DN3002		20060525
US 2007149553	A1	20070628	US 2006-582825		20060614
PRIORITY APPLN. INFO.:			US 2003-531376P	P	20031219
			WO 2004-US42604	W	20041215

OTHER SOURCE(S): CASREACT 143:97395; MARPAT 143:97395
IT 857066-68-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(2-phenylthienylpyrimidinones preparation as mitotic kinesin inhibitors)
RN 857066-68-3 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(3H)-one, 2-(2-bromophenyl)-3-(4-methylphenyl)- (CA INDEX NAME)



GI



I

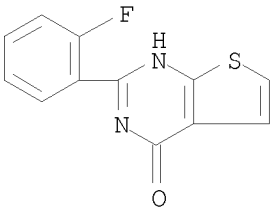
AB The present invention relates to 2-phenylthienylpyrimidinone compds. that are useful for treating cellular proliferative diseases, for treating disorders associated with KSP kinesin activity, and for inhibiting KSP kinesin. The invention also related to compns. which comprise these compds., and methods of using them to treat cancer in mammals. I was prepd starting with Et 3-aminothiophenecarboxylate, and reaction with 4-nitrophenyl chloroformate then p-toluidine, treatment of the product with KOH forming the heterocyclic intermediate and then treatment with Tf2O and then 2-bromophenylboronic acid. I was tested with kinesin ATPase in vitro assay, cell proliferation assay, and evaluation of mitotic arrest and apoptosis by FACS.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

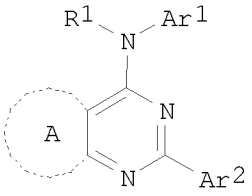
L4 ANSWER 12 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:857329 CAPLUS
DOCUMENT NUMBER: 141:332209
TITLE: Preparation of bicyclic pyrimidine inhibitors of TGF-β
INVENTOR(S): Dugar, Sundeep; Chakravarty, Sarvajit; Conte, Aurelia; Axon, Jonathan; Mcenroe, Glenn
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087056	A2	20041014	WO 2004-US9300	20040326
WO 2004087056	A3	20050224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2520465	A1	20041014	CA 2004-2520465	20040326
US 2005004143	A1	20050106	US 2004-811428	20040326
US 7223766	B2	20070529		
EP 1608631	A2	20051228	EP 2004-758392	20040326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
JP 2006521398	T	20060921	JP 2006-509343	20040326

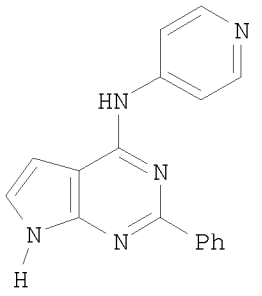
PRIORITY APPLN. INFO.: US 2003-458982P P 20030328
WO 2004-US9300 W 20040326
OTHER SOURCE(S): MARPAT 141:332209
IT 773140-10-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of bicyclic pyrimidines as inhibitors of transforming growth factor-β)
RN 773140-10-6 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-(2-fluorophenyl)- (CA INDEX NAME)



GI



I



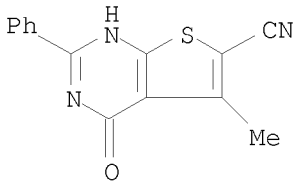
II

AB Title compds. I [R1 = H, (un)substituted-alkyl, -alkenyl, -alkynyl; Ar1 and Ar2 independently = (un)substituted aromatic or heteroarom. moiety; Ring A is (un)substituted, (un)saturated or aromatic and contains 4-7 members, wherein each member independently = C, N, O, or S], as well as their pharmaceutically acceptable salts, are prepared and disclosed as being useful for treating subjects with conditions ameliorated by inhibition of transforming growth factor-β (TGF-β) activity. Thus, e.g., II was prepd by cyclocondensation of benzamidine hydrochloride with Et 2-cyano-4,4-diethoxybutyrate to form 2-phenylpyrrolo[2,3-d]pyrimidone which was chlorinated and substituted with 4-aminopyridine. In TGF-β assays, I were found to possess IC50 values ranging from 0.0145-16.141 μM.

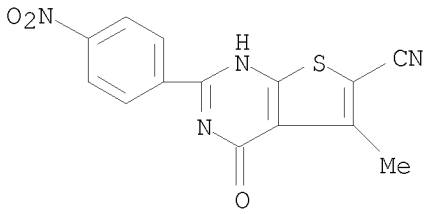
L4 ANSWER 13 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:633932 CAPLUS
DOCUMENT NUMBER: 141:157133
TITLE: Preparation of 4-aminothieno[2,3-d]pyrimidine-6-carbonitrile derivatives as PDE7 inhibitors
INVENTOR(S): Terricabras Belart, Emma; Segarra Matamoros, Victor Manuel; Alvarez-Builla Gomez, Julio; Vaquero Lopez, Juan Jose; Minguez Ortega, Jose Miguel
PATENT ASSIGNEE(S): Almirall Prodesfarma S.A., Spain
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004065391	A1	20040805	WO 2004-EP584		20040123
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ					
ES 2217956	A1	20041101	ES 2003-172		20030123
ES 2217956	B1	20060401			
EP 1590352	A1	20051102	EP 2004-704579		20040123
EP 1590352	B1	20070627			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
CN 1761671	A	20060419	CN 2004-80007362		20040123
JP 2006515604	T	20060601	JP 2006-500010		20040123
AT 365742	T	20070715	AT 2004-704579		20040123
ES 2289475	T3	20080201	ES 2004-704579		20040123
US 2006229306	A1	20061012	US 2005-542940		20050721
PRIORITY APPLN. INFO.:			ES 2003-172	A	20030123
			WO 2004-EP584	W	20040123

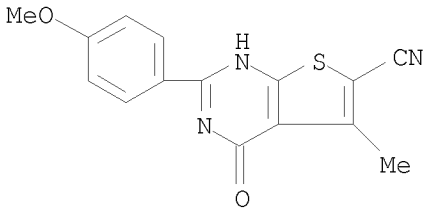
OTHER SOURCE(S): MARPAT 141:157133
IT 731855-52-0P, 5-Methyl-4-oxo-2-phenyl-3,4-dihydrothieno[2,3-d]pyrimidine-6-carbonitrile 731855-53-1P, 5-Methyl-2-(4-nitrophenyl)-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-6-carbonitrile 731855-54-2P, 2-(4-Methoxyphenyl)-5-methyl-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-6-carbonitrile 731855-55-3P, 5-Methyl-2-(4-methylphenyl)-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-6-carbonitrile 731855-56-4P, 5-Methyl-4-oxo-2-[4-(trifluoromethyl)phenyl]-3,4-dihydrothieno[2,3-d]pyrimidine-6-carbonitrile 731855-57-5P, 2-(4-Chlorophenyl)-5-methyl-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-6-carbonitrile 731855-58-6P, 2-(3,4-Dimethoxyphenyl)-5-methyl-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-6-carbonitrile 731855-62-2P, 5-Methyl-4-oxo-2-(4-(carbomethoxy)phenyl)-3,4-dihydrothieno[2,3-d]pyrimidine-6-carbonitrile 731855-63-3P, 5-Methyl-4-oxo-2-(3,4,5-trimethoxyphenyl)-3,4-dihydrothieno[2,3-d]pyrimidine-6-carbonitrile
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-aminothieno[2,3-d]pyrimidine-6-carbonitrile derivs. as pde7 inhibitors)
RN 731855-52-0 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carbonitrile, 1,4-dihydro-5-methyl-4-oxo-2-phenyl- (CA INDEX NAME)



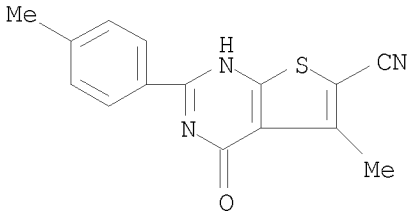
RN 731855-53-1 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carbonitrile, 1,4-dihydro-5-methyl-2-(4-nitrophenyl)-4-oxo- (CA INDEX NAME)



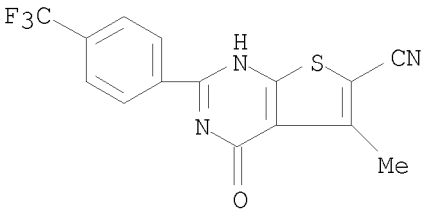
RN 731855-54-2 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carbonitrile, 1,4-dihydro-2-(4-methoxyphenyl)-5-methyl-4-oxo- (CA INDEX NAME)



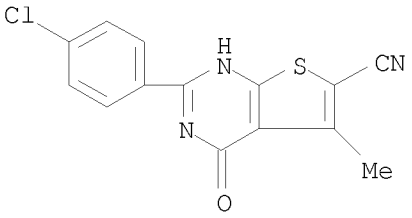
RN 731855-55-3 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carbonitrile, 1,4-dihydro-5-methyl-2-(4-methylphenyl)-4-oxo- (CA INDEX NAME)



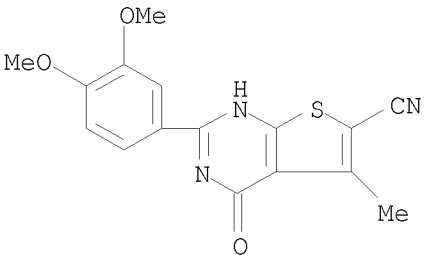
RN 731855-56-4 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carbonitrile, 1,4-dihydro-5-methyl-4-oxo-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



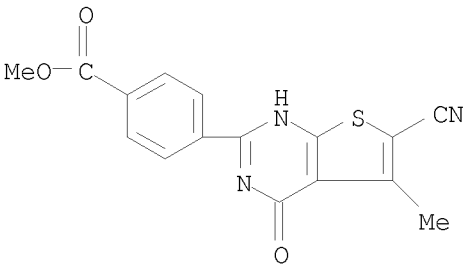
RN 731855-57-5 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carbonitrile, 2-(4-chlorophenyl)-1,4-dihydro-5-methyl-4-oxo- (CA INDEX NAME)



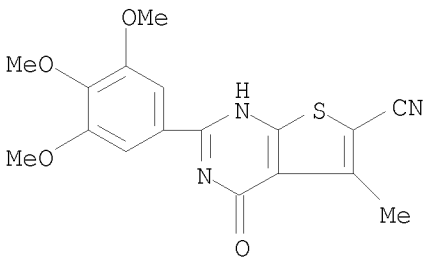
RN 731855-58-6 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carbonitrile, 2-(3,4-dimethoxyphenyl)-1,4-dihydro-5-methyl-4-oxo- (CA INDEX NAME)



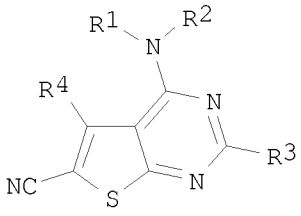
RN 731855-62-2 CAPLUS
CN Benzoic acid, 4-(6-cyano-1,4-dihydro-5-methyl-4-oxothieno[2,3-d]pyrimidin-2-yl)-, methyl ester (CA INDEX NAME)



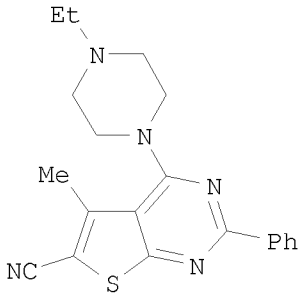
RN 731855-63-3 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carbonitrile, 1,4-dihydro-5-methyl-4-oxo-2-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



GI



I



II

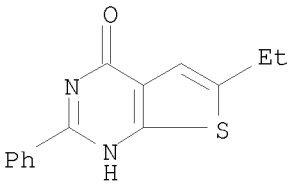
AB Title compds. I [R1-2 = H, alk(en/yn)yl, etc.; R3 = (CH2)n-G; n = 0-4; G = mono/bicyclic (hetero)aryl; R4 = H, alkyl, aryl] are prepared For instance, 5-methyl-4-oxo-2-phenyldihydrothieno[2,3-d]pyrimidine-6-carbonitrile (preparation given) is treated with an appropriately substituted piperazine to give II. All compds. of the invention have IC50 < 10 μM for PDE7 inhibition. I are useful in the treatment, prevention or suppression of pathol. conditions, diseases and disorders susceptible of being improved by inhibition of PDE7.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

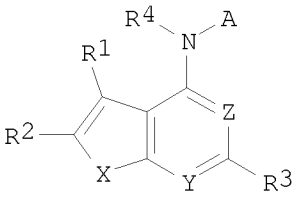
L4 ANSWER 14 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:504794 CAPLUS
DOCUMENT NUMBER: 137:63255
TITLE: Preparation of thieno[2,3-d]pyrimidine derivatives as cyclin-dependent kinase 4 (Cdk4) inhibitors having antitumor activity owing to cell cycle regulation
INVENTOR(S): Uoto, Kouichi; Horiuchi, Takao; Akabane, Kouichi; Takeda, Yasuyuki
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 241 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051849	A1	20020704	WO 2001-JP11354	20011225
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002216406	A1	20020708	AU 2002-216406	20011225
PRIORITY APPLN. INFO.:			JP 2000-394169 A	20001226
			WO 2001-JP11354 W	20011225

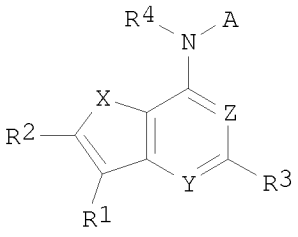
OTHER SOURCE(S): MARPAT 137:63255
IT 18002-00-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thieno[2,3-d]pyrimidine derivs. as cyclin-dependent kinase 4 (Cdk4) inhibitors having antitumor activity owing to cell cycle regulation)
RN 18002-00-1 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 6-ethyl-2-phenyl- (CA INDEX NAME)



GI



I

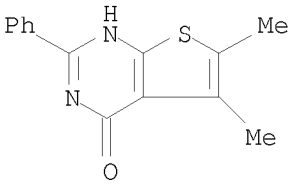


II

AB Compds. of the general formula (I) or (II) or salts thereof: [wherein X = S, O, NR5 (wherein R5 = H, alkyl); Y = N, CH; Z = N, CR6 (wherein R6 = H, halo, alkyl, etc.); R1, R2 = H, alkyl, alkoxy, alkenyl, alkynyl, aryl, aralkyl, acyl, mercapto, alkylthio, alkylsulfinyl, alkylsulfonyl, amino, mono- or dialkylamino, CONH2, mono- or dialkylcarbamoyl, or R1 and R2 are linked to each other to form an (un)substituted 3- to 7-membered hydrocarbon or heterocyclic ring; R3 = H, (un)substituted alkyl or aryl; R4 = H, (un)substituted alkyl; and A is a group represented by the general formula -N:CR7R8, Q, Q1 [wherein R7 = H, (un)substituted alkyl; R8 = (un)substituted alkyl, aryl, or heterocyclyl; ring B = aryl or heteroaryl ring condensed to cyclohexane ring]] are prepared Thus, to a solution of 6-tert-butyl-4-hydrazinothieno[2,3-d]pyrimidine ad in anhydrous benzene was added anhydrous Na2SO4 and heated at 100° with stirring for 2.5 h 1-(2-formylthiazol-4-ylmethyl)ethylcarbamic acid tert-Bu ester to give, after deprotection, 4-(1-aminoethyl)thiazole-2-carboxaldehyde N-[6-tert-butylthieno[2,3-d]pyrimidin-4-yl]hydrazone dihydrochloride (III). III showed IC50 of 0.019 and 0.83 μg/mL against Cdk4 and Cdk2, resp.

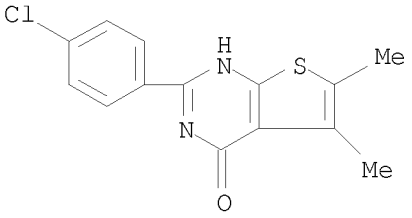
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:208374 CAPLUS
DOCUMENT NUMBER: 137:6148
TITLE: A facile route for the synthesis of thienopyrimidines
AUTHOR(S): Raghu Prasad, M.; Raghuram Rao, A.; Shanthan Rao, P.; Subramanian Rajan, K.
CORPORATE SOURCE: University College of Pharmaceutical Sciences, Med. Chem. Div., Kakatiya University, Warangai, India
SOURCE: Journal of Chemical Research, Synopses (2002), (1), 5-6, 0149-0153
CODEN: JRPSDC; ISSN: 0308-2342
PUBLISHER: Science Reviews
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:6148
IT 18593-46-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of thienopyrimidines via thieno[2,3-d]oxazinones by reaction of aminothiophene carboxylate with anhydrides or benzoyl chloride)
RN 18593-46-9 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 5,6-dimethyl-2-phenyl- (CA INDEX NAME)

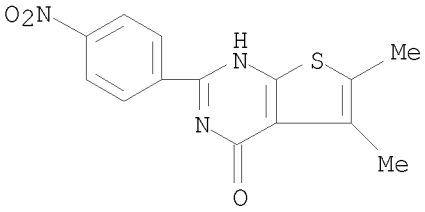


AB Thieno[2,3-d]pyrimidines were synthesized by a novel route via thieno[2,3-d]oxazinones which were in turn prepared by a facile single pot method.
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:353359 CAPLUS
DOCUMENT NUMBER: 136:102346
TITLE: Synthesis of some new substituted thieno[2,3-d]pyrimidines and related heterocyclic systems
AUTHOR(S): El-Baih, Fatma E. M.; Al-Taisan, Khlood M.; Al-Hazimi, Hassan M. A.
CORPORATE SOURCE: Department of Chemistry, College of Science, King Saud University, Riyadh, 11451, Saudi Arabia
SOURCE: Journal of Saudi Chemical Society (2000), 4(3), 281-290
CODEN: JSCSFO; ISSN: 1319-6103
PUBLISHER: Saudi Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:102346
IT 357620-23-6P 357621-15-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of thieno[2,3-d]pyrimidines and related heterocyclic compds.)
RN 357620-23-6 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-(4-chlorophenyl)-5,6-dimethyl- (CA INDEX NAME)



RN 357621-15-9 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 5,6-dimethyl-2-(4-nitrophenyl)- (CA INDEX NAME)

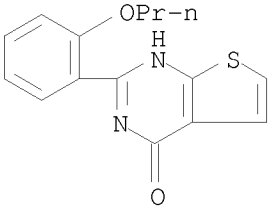


AB Several substituted thieno[2,3-d]pyrimidines were synthesized from the intermediates 2-amino-3-ethoxycarbonylthiophene and 2-aminothiophene-3-carbonitrile derivs. which in turn were obtained from the reaction of the corresponding ketones, Et cyanoacetate (or malononitrile) and sulfur in the presence of diethylamine. Attempts of cyclization of some substituted thieno[2,3-d]pyrimidines to thienotriazolo pyrimidines were also carried out. The structures of the prepared heterocycles were mainly confirmed on the basis of spectroscopic methods.
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

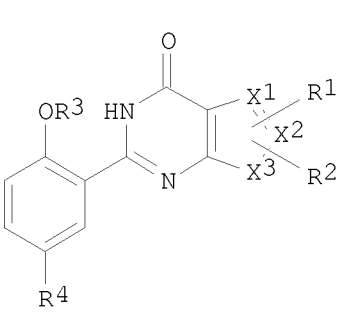
L4 ANSWER 17 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:179819 CAPLUS
DOCUMENT NUMBER: 134:222726
TITLE: Preparation of phenyl purinone derivatives for the treatment of precancerous lesions
INVENTOR(S): Piazza, Gary A.; Pamukcu, Rifat
PATENT ASSIGNEE(S): Cell Pathways, Inc., USA
SOURCE: U.S., 31 pp., Cont. of U. S. Ser. No. 472,804.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6200980	B1	20010313	US 1997-842854	19970417
PRIORITY APPLN. INFO.:			US 1995-472804 A1	19950607

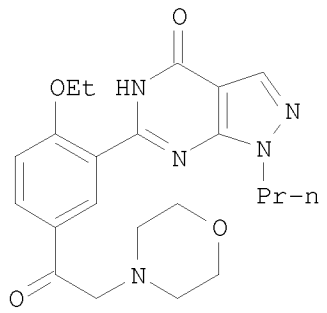
OTHER SOURCE(S): MARPAT 134:222726
IT 127824-91-3P, 2-(2-Propoxyphenyl)thieno[2,3-d]pyrimidin-4(3H)-one
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of Ph purinone derivs. for treatment of precancerous lesions)
RN 127824-91-3 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-(2-propoxyphenyl)- (CA INDEX NAME)



GI



I



II

AB Title compds. (I) [wherein R1 = H, (fluoro)alkyl, or cycloalkyl; R2 = H, (fluoro)alkyl, or cycloalkylalkyl; R3 = (fluoro)alkyl, cycloalkyl(alkyl), alkenyl or alkynyl; R4 = halo or (un)substituted alkyl, alkenyl, alkanoyl, carbamoyl, carboxy, amino, sulfamoylamino, Ph, pyridyl, or imidazoyl, etc.; X1-X3 = independently N or C with the proviso that at least 2 of

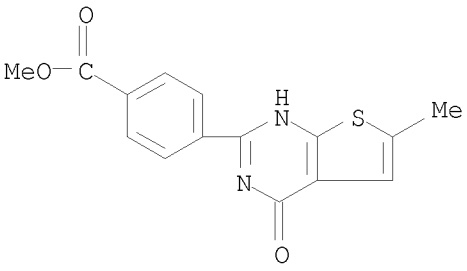
X1-X3 = N] were prepared for inhibiting the growth of neoplastic cells. For example, the 4H-pyrazolo[3,4-d]pyrimidin-4-one (II) was formed in a multi-step synthesis involving amidation of 5-amino-1-propylpyrazole-4-carboxamide with 2-ethoxybenzoyl chloride (74%), cyclization using aqueous NaOH (89%), acetylation with bromoacetyl bromide in the presence of AlCl3 (92%), and addition of morpholine in K2CO3 and MeCN (85%). In a cell growth inhibition assay examining the effects of I on human colon carcinoma cells, administration of 40 μM of 2-(2-propoxyphenyl)-8-azapurin-6-one resulted in 30% apoptotic cells and 2% necrosis compared to 7% and 5%, resp., for the control. Pharmaceutical compns. for oral and parenteral administration of I are also included.

REFERENCE COUNT: 137 THERE ARE 137 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

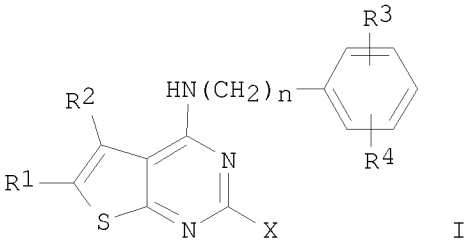
L4 ANSWER 18 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:571840 CAPLUS
DOCUMENT NUMBER: 131:214293
TITLE: Inhibition of neoplastic cells by exposure to thienopyrimidines
INVENTOR(S): Pamukcu, Rifat; Piazza, Gary
PATENT ASSIGNEE(S): Cell Pathways, Inc., USA
SOURCE: U.S., 28 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5948911	A	19990907	US 1998-196205	19981120

PRIORITY APPLN. INFO.: US 1998-196205 19981120
OTHER SOURCE(S): MARPAT 131:214293
IT 206666-21-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibition of neoplastic cells by exposure to thienopyrimidines)
RN 206666-21-9 CAPLUS
CN Benzoic acid, 4-(1,4-dihydro-6-methyl-4-oxothieno[2,3-d]pyrimidin-2-yl)-, methyl ester (CA INDEX NAME)



GI



I

AB A method for inhibiting growth of neoplastic cells comprises administration of title compds. [I; R1, R2 = H, A, OA, alkenyl, alkynyl, NO2, CF3, halo; R3, R4 = H, A, OA, halo, NO2, amino; R3R4 = OCH2CH2, OCH2O, OCH2CH2O; X = substituted 5-7 membered heterocyclyl, isocyclyl; A =

H, alkyl; n = 0-3; with provisos]. Thus, 2,4-dichloro-6-methylthieno[2,3-d]pyrimidine, 3,4-methylenedioxybenzylamine, and Et3N were stirred in CH2Cl2 to give 2-chloro-6-methyl-4-(3,4-methylenedioxybenzylamino)thieno[2,3-d]pyrimidine.

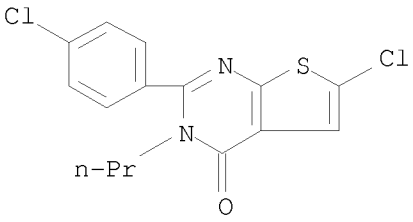
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:216904 CAPLUS
DOCUMENT NUMBER: 130:252368
TITLE: Preparation of novel pyrimidin-4-ones and pyrimidine-4-thiones as fungicides
INVENTOR(S): Walter, Harald
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

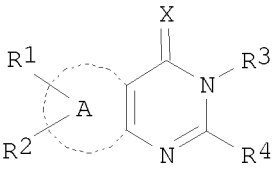
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9914202	A2	19990325	WO 1998-EP5790	19980910
WO 9914202	A3	19990514		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
TW 429254	B	20010411	TW 1998-87114037	19980825
CA 2301694	A1	19990325	CA 1998-2301694	19980910
AU 9897429	A	19990405	AU 1998-97429	19980910
AU 743717	B2	20020131		
EP 1015434	A2	20000705	EP 1998-951380	19980910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO				
TR 200000713	T2	20000821	TR 2000-713	19980910
BR 9812439	A	20000926	BR 1998-12439	19980910
HU 2000002423	A2	20001128	HU 2000-2423	19980910
HU 2000002423	A3	20010228		
JP 2001516749	T	20011002	JP 2000-511753	19980910
NZ 503261	A	20020328	NZ 1998-503261	19980910
AT 216370	T	20020515	AT 1998-951380	19980910
PT 1015434	T	20020830	PT 1998-951380	19980910
ES 2175804	T3	20021116	ES 1998-951380	19980910
ZA 9808336	A	19990212	ZA 1998-8336	19980911
IN 1998MA02058	A	20050304	IN 1998-MA2058	19980911
EG 22051	A	20020630	EG 1998-1103	19980912
MX 200002413	A	20001030	MX 2000-2413	20000309
US 6277858	B1	20010821	US 2000-508307	20000309
PRIORITY APPLN. INFO.:			GB 1997-19411 A	19970912
			WO 1998-EP5790 W	19980910

OTHER SOURCE(S): MARPAT 130:252368
IT 221451-52-1P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel pyrimidin-4-ones and pyrimidine-4-thiones as fungicides)
RN 221451-52-1 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(3H)-one, 6-chloro-2-(4-chlorophenyl)-3-propyl-

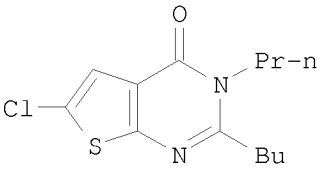
(CA INDEX NAME)



GI



I



II

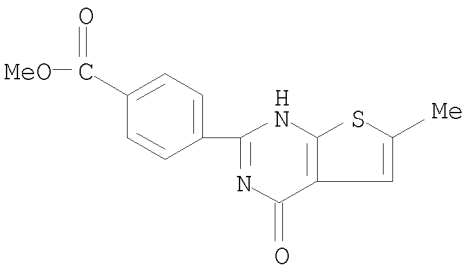
AB The title compds. [I; A = Ph, thienyl, thiazolyl, pyridyl, pyridazinyl; X = O, S; R1 = H, halo, Me3Si; R2 = H, halo, Me3Si; at least one of R1 and R2 is not H; R3 = (un)substituted C1-8 alkyl, C1-8 alkenyl, C1-8 alkynyl, etc.; R4 = (un)substituted C1-8 alkyl, C1-8 alkenyl, C1-8 alkynyl, etc.] which have plant-protective properties and are suitable for protecting plants against infestation by phytopathogenic microorganisms, in particular fungi, were prepared E.g., a few-step synthesis of thienopyrimidine II, which showed especially strong efficacy against Podosphaera leucotricha on apple shoots at 0.06% a.i. (spray mixture), was given.

L4 ANSWER 20 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:268506 CAPLUS
DOCUMENT NUMBER: 128:321652
TITLE: Preparation of thienopyrimidines as phosphodiesterase V inhibitors
INVENTOR(S): Jonas, Rochus; Schelling, Pierre; Christadler, Maria; Kluxen, Franz-Werner
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany; Jonas, Rochus; Schelling, Pierre; Christadler, Maria; Kluxen, Franz-Werner
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

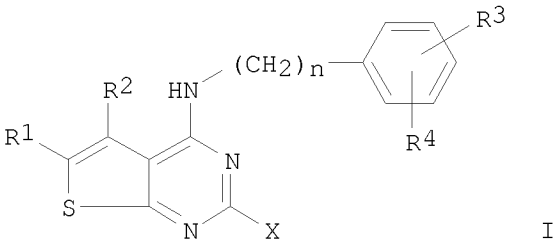
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817668	A1	19980430	WO 1997-EP5530	19971008
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19644228	A1	19980430	DE 1996-19644228	19961024
TW 457242	B	20011001	TW 1997-86114590	19971006
CA 2269815	A1	19980430	CA 1997-2269815	19971008
CA 2269815	C	20070925		
AU 9749450	A	19980515	AU 1997-49450	19971008
AU 726639	B2	20001116		
EP 934321	A1	19990811	EP 1997-912139	19971008
EP 934321	B1	20030806		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
BR 9712652	A	19991026	BR 1997-12652	19971008
CN 1240450	A	20000105	CN 1997-180749	19971008
CN 1105116	B	20030409		
HU 9904680	A2	20000528	HU 1999-4680	19971008
JP 2001502342	T	20010220	JP 1998-518895	19971008
RU 2197492	C2	20030127	RU 1999-110944	19971008
AT 246689	T	20030815	AT 1997-912139	19971008
PT 934321	T	20031231	PT 1997-912139	19971008
ES 2201275	T3	20040316	ES 1997-912139	19971008
CZ 294027	B6	20040915	CZ 1999-1422	19971008
SK 284979	B6	20060302	SK 1999-502	19971008
PL 192163	B1	20060929	PL 1997-332970	19971008
IN 1997CA01945	A	20050311	IN 1997-CA1945	19971017
ZA 9709516	A	19980512	ZA 1997-9516	19971023
NO 9901951	A	19990617	NO 1999-1951	19990423
KR 2000052772	A	20000825	KR 1999-703580	19990423
US 6130223	A	20001010	US 1999-297186	19990611
HK 1024484	A1	20040109	HK 2000-103906	20000628

PRIORITY APPLN. INFO.: DE 1996-19644228 A 19961024
WO 1997-EP5530 W 19971008
OTHER SOURCE(S): CASREACT 128:321652; MARPAT 128:321652

IT 206666-21-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination; preparation of thienopyrimidines as phosphodiesterase V inhibitors)
RN 206666-21-9 CAPLUS
CN Benzoic acid, 4-(1,4-dihydro-6-methyl-4-oxothieno[2,3-d]pyrimidin-2-yl)-, methyl ester (CA INDEX NAME)



GI

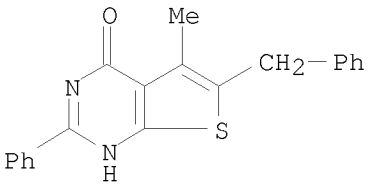


I

AB Thienopyrimidines [I; R1, R2 = H, C1-6 alkyl, C1-6 alkoxy, alkenyl, alkynyl, CF3, F, Cl, Br, iodo; R1R2 = C3-5 alkylene; R3, R4 = H, C1-6 alkyl, C1-6 alkoxy, NO2, amino, halo, etc.; R3R4 = OCH2CH2, OCH2O, OCH2CH2O; X = 5-7-membered R5-substituted saturated heteroring, 5-7-membered (R5-substituted) (un)saturated isocyclic ring; R5 = CO2H, CONH2, cyano, etc.; n = 0-3] and their physiol. acceptable salts, useful in the treatment of cardiovascular diseases and for the treatment and/or therapy of potency disorders (no data), were prepared, e.g., by amination of 2,4-dichlorothienopyrimidine precursors with benzylamines. For example, adding 3.02 g 3,4-methylenedioxybenzylamine and 1.52 g Et3N to a solution of 3.29 g 2,4-dichloro-6-methylthieno[2,3-d]pyrimidine in 80 mL CH2Cl2 and stirring the whole for 12 h at ambient temperature gave 3.38 g 2-chloro-6-methyl-4-(3,4-methylenedioxybenzylamino)thieno[2,3-d]pyrimidine (m. 162°).

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:233551 CAPLUS
DOCUMENT NUMBER: 128:294751
TITLE: Synthesis of certain 6-benzyl-5-methylthieno[2,3-d]pyrimidines
AUTHOR(S): El-Meligie, S.
CORPORATE SOURCE: Organic Chemistry Department, Faculty of Pharmacy, Cairo University, Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1997), 36B(12), 1126-1131
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: National Institute of Science Communication, CSIR
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 57243-82-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of thienopyrimidines)
RN 57243-82-0 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 5-methyl-2-phenyl-6-(phenylmethyl)- (CA INDEX NAME)

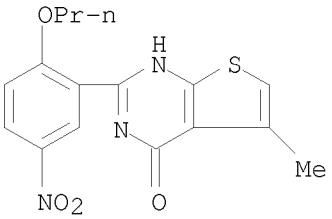


AB Thieno[2,3-d]pyrimidines have been obtained via the reaction of 2-amino-3-cyano-4-methyl-5-benzylthiophene (I) with formic acid, acetic anhydride, and formamide, resp. Cyclization of I with aryl isothiocyanates under different reaction conditions yield 4-thioxothieno[2,3-d]pyrimidines and 4-imino-2-thioxothieno[2,3-d]pyrimidines. Treatment of I with CS2 in pyridine at room temperature and reflux temperature afford thioxothieno[1,3]thiazine and dithioxothienopyrimidine.
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

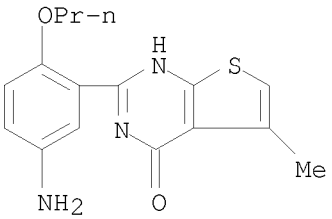
L4 ANSWER 22 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:521154 CAPLUS
DOCUMENT NUMBER: 125:168012
TITLE: Preparation of thieno[2,3-d]pyrimidin-4-one derivatives as cyclic GMP-specific phosphodiesterase inhibitors
INVENTOR(S): Oota, Tomoki; Taguchi, Minoru; Kawashima, Yutaka; Hatayama, Katsuo
PATENT ASSIGNEE(S): Taisho Pharma Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08143571	A	19960604	JP 1995-179742	19950717
JP 3760484	B2	20060329		

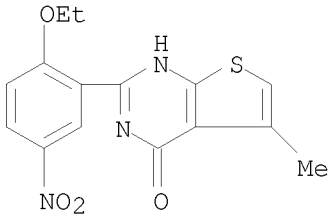
PRIORITY APPLN. INFO.: JP 1994-224408 A1 19940920
OTHER SOURCE(S): MARPAT 125:168012
IT 180306-57-4P 180306-58-5P 180306-59-6P
180306-60-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis of thieno[2,3-d]pyrimidin-4-one derivs. as cyclic GMP-specific phosphodiesterase inhibitors)
RN 180306-57-4 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 5-methyl-2-(5-nitro-2-propoxyphenyl)-(CA INDEX NAME)



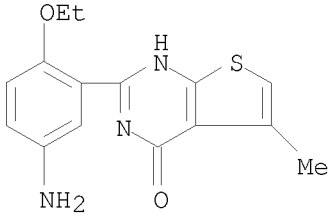
RN 180306-58-5 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-(5-amino-2-propoxyphenyl)-5-methyl-(CA INDEX NAME)



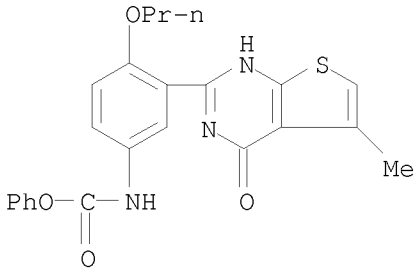
RN 180306-59-6 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-(2-ethoxy-5-nitrophenyl)-5-methyl-
(CA INDEX NAME)



RN 180306-60-9 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-(5-amino-2-ethoxyphenyl)-5-methyl-
(CA INDEX NAME)

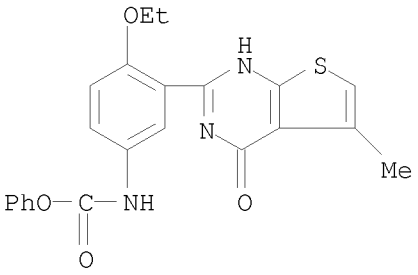


IT 180306-56-3P 180306-61-0P 180306-62-1P
180306-63-2P 180306-64-3P 180306-65-4P
180306-66-5P 180306-67-6P 180306-68-7P
180306-69-8P 180306-70-1P 180306-71-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of thieno[2,3-d]pyrimidin-4-one derivs. as cyclic GMP-specific phosphodiesterase inhibitors)
RN 180306-56-3 CAPLUS
CN Carbamic acid, [3-(1,4-dihydro-5-methyl-4-oxothieno[2,3-d]pyrimidin-2-yl)-4-propoxyphenyl]-, phenyl ester (9CI) (CA INDEX NAME)

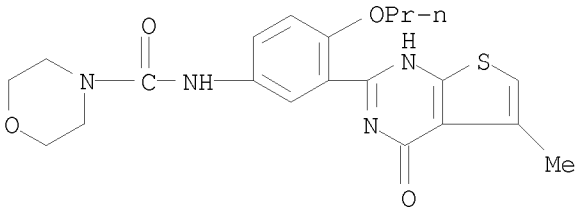


RN 180306-61-0 CAPLUS
CN Carbamic acid, [3-(1,4-dihydro-5-methyl-4-oxothieno[2,3-d]pyrimidin-2-yl)-

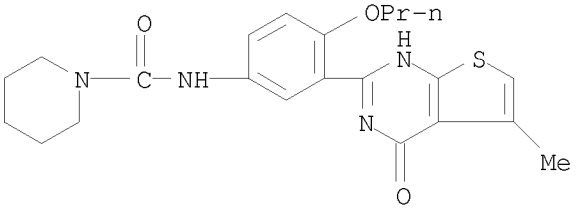
4-ethoxyphenyl]-, phenyl ester (9CI) (CA INDEX NAME)



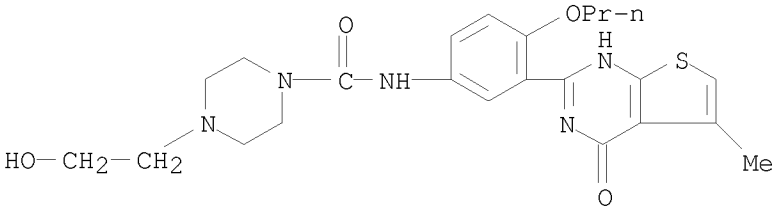
RN 180306-62-1 CAPLUS
CN 4-Morpholinecarboxamide, N-[3-(1,4-dihydro-5-methyl-4-oxothieno[2,3-d]pyrimidin-2-yl)-4-propoxyphenyl]- (CA INDEX NAME)



RN 180306-63-2 CAPLUS
CN 1-Piperidinecarboxamide, N-[3-(1,4-dihydro-5-methyl-4-oxothieno[2,3-d]pyrimidin-2-yl)-4-propoxyphenyl]- (CA INDEX NAME)

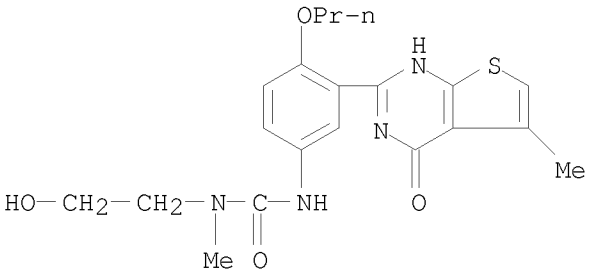


RN 180306-64-3 CAPLUS
CN 1-Piperazinecarboxamide, N-[3-(1,4-dihydro-5-methyl-4-oxothieno[2,3-d]pyrimidin-2-yl)-4-propoxyphenyl]-4-(2-hydroxyethyl)- (CA INDEX NAME)

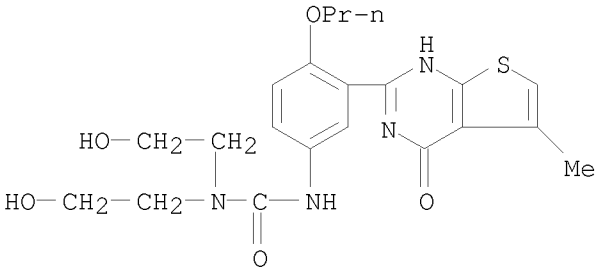


RN 180306-65-4 CAPLUS
CN Urea, N'-[3-(1,4-dihydro-5-methyl-4-oxothieno[2,3-d]pyrimidin-2-yl)-4-

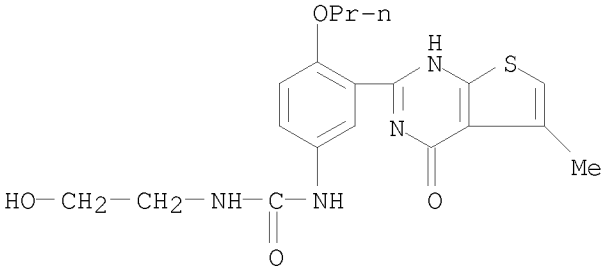
propoxyphenyl]-N-(2-hydroxyethyl)-N-methyl- (CA INDEX NAME)



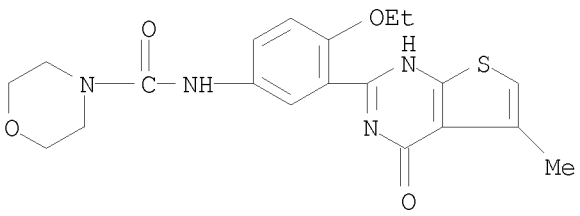
RN 180306-66-5 CAPLUS
CN Urea, N'-[3-(1,4-dihydro-5-methyl-4-oxothieno[2,3-d]pyrimidin-2-yl)-4-propoxyphenyl]-N,N-bis(2-hydroxyethyl)- (CA INDEX NAME)



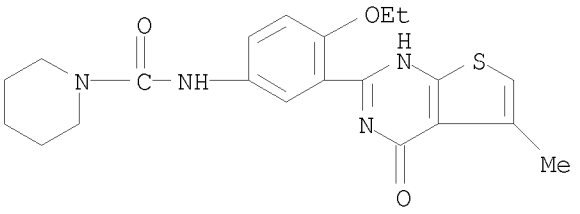
RN 180306-67-6 CAPLUS
CN Urea, N-[3-(1,4-dihydro-5-methyl-4-oxothieno[2,3-d]pyrimidin-2-yl)-4-propoxyphenyl]-N'-(2-hydroxyethyl)- (CA INDEX NAME)



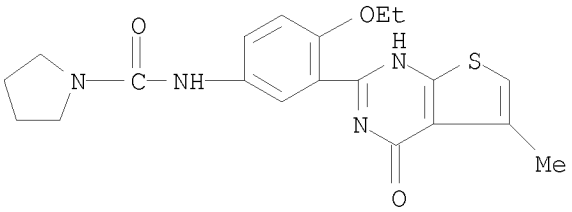
RN 180306-68-7 CAPLUS
CN 4-Morpholinecarboxamide, N-[3-(1,4-dihydro-5-methyl-4-oxothieno[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]- (CA INDEX NAME)



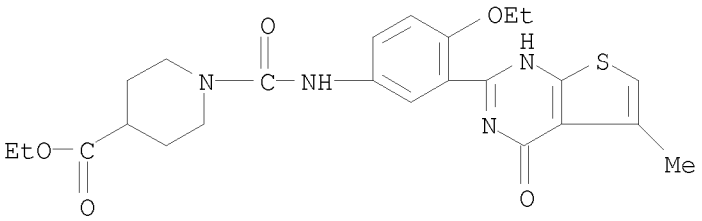
RN 180306-69-8 CAPLUS
CN 1-Piperidinecarboxamide, N-[3-(1,4-dihydro-5-methyl-4-oxothieno[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]- (CA INDEX NAME)



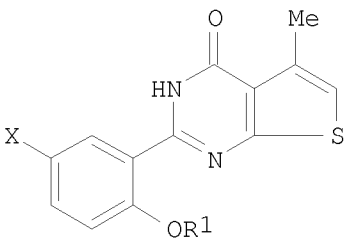
RN 180306-70-1 CAPLUS
CN 1-Pyrrolidinecarboxamide, N-[3-(1,4-dihydro-5-methyl-4-oxothieno[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]- (CA INDEX NAME)



RN 180306-71-2 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[[[3-(1,4-dihydro-5-methyl-4-oxothieno[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]amino]carbonyl]-, ethyl ester (CA INDEX NAME)



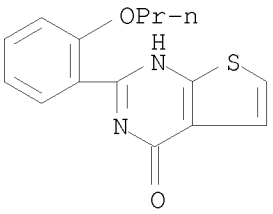
GI



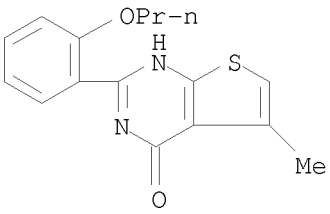
I

AB The title compds. [I; R1 = C1-4 alkyl; X = NHCOR2; R2 = PhO, morpholino, piperidino, pyrrolidino, 4-carbethoxypiperidino, 4-(2-hydroxyethyl)piperazino, NR3R4 (R3, R4 = H, C1-4 alkyl, C2-4 hydroxyalkyl)], their salts, and their intermediates [I; X = NH2, NO2] are prepared These compds. are potential cyclic GMP-specific phosphodiesterase inhibitors for treatment of hypertension, myocardiopathy diseases. Thus, 2-amino-4-methyl-3-carbamylthiophene was reacted with 5-nitro-2-propoxybenzoyl chloride in the presence of Et3N, then treated with KOH, followed with NaBH4, and reacted with ClCO2Ph and morpholine to give I [R1 = Pr; X = NHCOR2, R2 = morpholino], which showed IC50 of 3.5 nM against cyclic GMP-specific phosphodiesterases.

L4 ANSWER 23 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:175895 CAPLUS
DOCUMENT NUMBER: 124:249654
TITLE: Synthesis and Cyclic GMP Phosphodiesterase Inhibitory Activity of a Series of 6-Phenylpyrazolo[3,4-d]pyrimidones
AUTHOR(S): Dumaitre, Bernard; Dodic, Nerina
CORPORATE SOURCE: Glaxo Wellcome Centre de Recherches, Les Ulis, 91951, Fr.
SOURCE: Journal of Medicinal Chemistry (1996), 39(8), 1635-44
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 127824-91-3P 175406-80-1P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(synthesis and cyclic GMP phosphodiesterase inhibitory activity of phenylpyrazolopyrimidones)
RN 127824-91-3 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-(2-propoxyphenyl)- (CA INDEX NAME)



RN 175406-80-1 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 5-methyl-2-(2-propoxyphenyl)- (CA INDEX NAME)



AB A series of 6-phenylpyrazolo[3,4-d]pyrimidones is described which are specific inhibitors of cGMP specific (type V) phosphodiesterase. Enzymic and cellular activity as well as in vivo oral antihypertensive activity are evaluated. A n-propoxy group at the 2-position of the Ph ring is necessary for activity. A series of products substituted at the 5-position in addition to the 2-n-propoxy was prepared and evaluated. This position can accommodate many unrelated groups. Amino derivs. were very potent but lacked metabolic stability. Substitution by carbon-linked small heterocycles provided both high levels of activity and stability. Cellular activity very often correlated with in vivo activity. Among the compds., 1,3-dimethyl-6-(2-propoxy-5-methanesulfonamidophenyl)-1,5-

dihydropyrazolo[3,4-d]pyrimidin-4-one and 1-ethyl-3-methyl-6-(2-propoxy-5-(4-methylthiazol-2-yl)phenyl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one displayed outstanding in vivo activities at 5 mg/kg/os and good metabolic stabilities.

L4 ANSWER 24 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:680658 CAPLUS
DOCUMENT NUMBER: 121:280658
TITLE: 2-arylpyrimidines and herbicidal use thereof
INVENTOR(S): Tice, Colin Michael
PATENT ASSIGNEE(S): Rohm and Haas Co., USA
SOURCE: Eur. Pat. Appl., 50 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 579424	A1	19940119	EP 1993-305207	19930702
EP 579424	B1	19961023		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5300477	A	19940405	US 1993-62802	19930520
JP 06087835	A	19940329	JP 1993-155529	19930625
EP 696588	A1	19960214	EP 1995-117397	19930702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2099928	A1	19940118	CA 1993-2099928	19930706
BR 9302897	A	19940216	BR 1993-2897	19930716
CN 1081440	A	19940202	CN 1993-108542	19930717
US 5378678	A	19950103	US 1993-128326	19930928
US 5451565	A	19950919	US 1994-306866	19940915

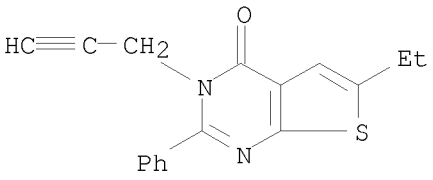
PRIORITY APPLN. INFO.:
US 1992-916247 A 19920717
US 1992-916780 A 19920717
US 1993-62802 A 19930520
EP 1993-305207 A3 19930702
US 1993-128326 A3 19930928

OTHER SOURCE(S): CASREACT 121:280658; MARPAT 121:280658

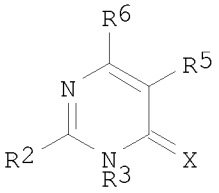
IT 158715-01-6P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)

RN 158715-01-6 CAPLUS

CN Thieno[2,3-d]pyrimidin-4(3H)-one, 6-ethyl-2-phenyl-3-(2-propynyl)- (9CI) (CA INDEX NAME)



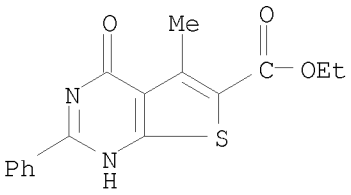
GI



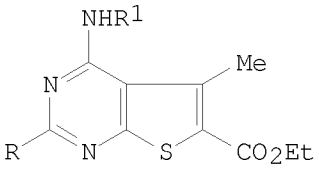
I

AB Herbicidal 2-arylpyrimidines I wherein R2 is an optionally substituted aromatic ring; R3 is a saturated or unsatd. alkyl group; R5 is selected from hydrogen, halo, alkyl, alkenyl, alkynyl, alkoxy, and alkylthio; R6 is selected from hydrogen, halo, alkyl, haloalkyl, aryl, and alkoxy; or R5 and R6 are joined together to form a ring; and X is oxygen or sulfur were prepared Thus, propargylation of 6-ethyl-5-methyl-2-phenyl-4(3H)-pyrimidinone with propargyl bromide in MeOH/MeONa gave 6-ethyl-5-methyl-2-phenyl-3-propargyl-4(3H)-pyrimidinone. Extensive data were given for the control of 14 weeds (crabgrass, foxtail, morning glory, etc.) in up to 100% at 1-4 lb/acre and 1200 g/ha.

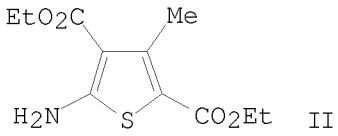
L4 ANSWER 25 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1993:495464 CAPLUS
DOCUMENT NUMBER: 119:95464
TITLE: New thieno compounds. Part 14. Synthesis of 4-amino-substituted thieno[2,3-d]pyrimidine-6-carboxylic acid derivatives
AUTHOR(S): Baumgartner, A.; Pech, R.; Boehm, R.
CORPORATE SOURCE: Inst. Pharm. Chem., Martin-Luther-Univ., Germany
SOURCE: Pharmazie (1993), 48(3), 192-4
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: German
IT 148838-69-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and chlorinaton of)
RN 148838-69-1 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 1,4-dihydro-5-methyl-4-oxo-2-phenyl-, ethyl ester (CA INDEX NAME)



GI



I



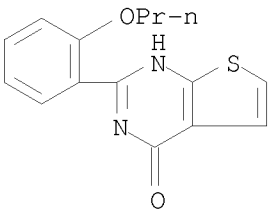
II

AB The title compds. I (R = H, Me, Ph; R1 = octyl, 2-furylmethyl, Ph, substituted Ph) were prepared by cyclization of the aminothiophenedicarboxylate II with HCONH2, MeCN, or PhCN, followed by chlorination and amination.

L4 ANSWER 26 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1990:423942 CAPLUS
DOCUMENT NUMBER: 113:23942
TITLE: Preparation of condensed pyrimidine derivatives as inhibitors of calmodulin insensitive cyclic GMP phosphodiesterase
INVENTOR(S): Coates, William John; Rawlings, Derek Anthony
PATENT ASSIGNEE(S): Smith Kline and French Laboratories Ltd., UK
SOURCE: Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 349239	A2	19900103	EP 1989-306453	19890626
EP 349239	A3	19900718		
EP 349239	B1	19940316		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5075310	A	19911224	US 1989-370494	19890623
AT 102945	T	19940415	AT 1989-306453	19890626
AU 8937099	A	19900104	AU 1989-37099	19890627
AU 614389	B2	19910829		
DK 8903228	A	19900102	DK 1989-3228	19890628
ZA 8904942	A	19910626	ZA 1989-4942	19890629
JP 02056484	A	19900226	JP 1989-171017	19890630

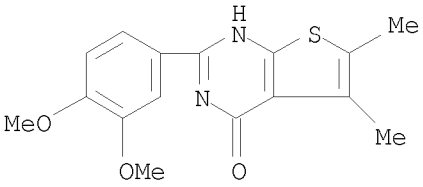
PRIORITY APPLN. INFO.: GB 1988-15716 A 19880701
GB 1988-15717 A 19880701
GB 1988-15718 A 19880701
EP 1989-306453 A 19890626
OTHER SOURCE(S): MARPAT 113:23942
IT 127824-91-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cyclic GMP phosphodiesterase inhibitor)
RN 127824-91-3 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-(2-propoxyphenyl)- (CA INDEX NAME)



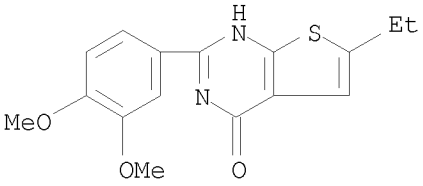
GI For diagram(s), see printed CA Issue.
AB The title compds. (I; ring A = Q-Q2; X = O, S; R1 = C1-6 alkyl, C2-6 alkenyl, C3-5 cycloalkyl, C1-4 alkyl, C1-4 alkyl substituted by 1-6 F), useful for treatment of asthma and bronchitis and also as vasodilators in treatment of angina, hypertension, and congestive heart failure, are prepared by (1) cyclocondensation of 2-R1OC6H4R2 [II; R2 = C(:NH)NH2] with a pyrazole derivative (III; R3 = C1-4 alkoxy, NH2) to give I (ring A = Q), (2) cyclization of II (R2 = Q3) to give I (ring A = Q, Q1), (3) oxidative cyclization of II (R2 = Q4, X1 = nitroso) to give I (ring A = Q2, X = O), and (4) cyclocondensation of II (R2 = Q4, X1 = NH2) with SOCl2 to give I

(ring A = Q2, X = S). Thus, a mixture of II [R1 = Pr, R2 = C(:NH)NH2].MeSO3H, II (R3 = NH2).H2SO4, and AcONa was heated 1 h in an oil bath (180°) to give I (R1 = Pr, ring A = Q). Also prepared were I (R1 = Pr; ring A = Q1, Q2 where X = O, S). Three I at 2.62-5.13 μmol/kg inhibited 50% the bronchoconstriction induced by U46619 (9,11-methanoepoxy-PGH2) in guinea pigs.

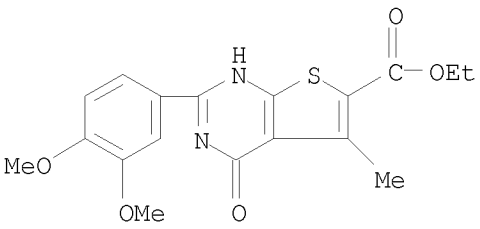
L4 ANSWER 27 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1990:198304 CAPLUS
DOCUMENT NUMBER: 112:198304
TITLE: Reaction of nitriles under acidic conditions. Part IV. Synthesis of some 2-substituted quinazolin-4-ones and thienopyrimidin-4-ones of biological interest and isolation of o-functionalized amidine intermediates
AUTHOR(S): Shishoo, C. J.; Devani, M. B.; Ananthan, S.; Jain, K. S.; Bhadti, V. S.; Mohan, S.; Patel, L. J.
CORPORATE SOURCE: Dep. Pharm. Chem., L. M. Coll. Pharm., Ahmedabad, 380 009, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1989), 28B(12), 1039-47
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:198304
IT 126718-77-2P 126718-79-4P 126718-81-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 126718-77-2 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-(3,4-dimethoxyphenyl)-5,6-dimethyl- (CA INDEX NAME)



RN 126718-79-4 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-(3,4-dimethoxyphenyl)-6-ethyl- (CA INDEX NAME)

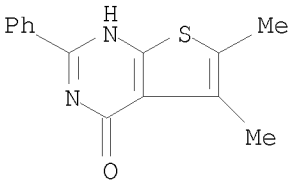


RN 126718-81-8 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 2-(3,4-dimethoxyphenyl)-1,4-dihydro-5-methyl-4-oxo-, ethyl ester (CA INDEX NAME)

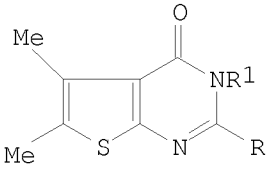


AB o-Amino esters of benzene, thiophene and benzothiophene reacted with a variety of nitriles in the presence of dry HCl gas to yield the corresponding 2-substituted condensed pyrimidin-4(3H)-ones. Amidines have been isolated as intermediates in the reaction of thiophene o-amino amides with nitriles under controlled conditions.

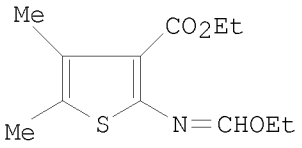
L4 ANSWER 28 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1986:5835 CAPLUS
DOCUMENT NUMBER: 104:5835
ORIGINAL REFERENCE NO.: 104:1070h,1071a
TITLE: Thieno[2,3-d]pyrimidin-4(3H)ones
AUTHOR(S): Gakhar, H. K.; Gill, J. K., Mrs.
CORPORATE SOURCE: Dep. Chem., Panjab Univ., Chandigarh, 160 014, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1985), 24B(4), 432-3
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 104:5835
IT 18593-46-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 18593-46-9 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 5,6-dimethyl-2-phenyl- (CA INDEX NAME)



GI



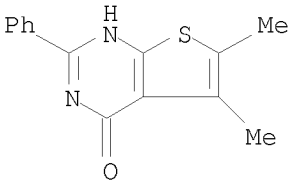
I



II

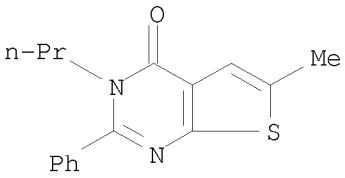
AB 5,6-Dimethylthieno[2,3-d]pyrimidin-4(3H)-ones I (R = H, Me, Ph; R1 = H, Ph, p-MeC6H4, p-MeOC6H4) were synthesized by three new routes. Thus, the thiophenecarboxylate derivative II, prepared from 2-amino-3-carbethoxy-4,5-diaminothiophene and HC(OEt)3, was treated with PhNH2 to give 60% I (R = H, R1 = Ph).

L4 ANSWER 29 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1982:598154 CAPLUS
DOCUMENT NUMBER: 97:198154
ORIGINAL REFERENCE NO.: 97:33189a,33192a
TITLE: Synthesis and biological activity of tetrazolo[1,5-c]thieno[3,2-e]pyrimidines
AUTHOR(S): Shishoo, C. J.; Devani, M. B.; Karvekar, M. D.; Ullas, G. V.; Ananthan, S.; Bhadti, V. S.; Patel, R. B.; Gandhi, T. P.
CORPORATE SOURCE: Dep. Pharm. Chem., L.M. Coll. Pharm., Ahmedabad, 380 009, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1982), 21B(7), 666-8
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 97:198154
IT 18593-46-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination of)
RN 18593-46-9 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 5,6-dimethyl-2-phenyl- (CA INDEX NAME)

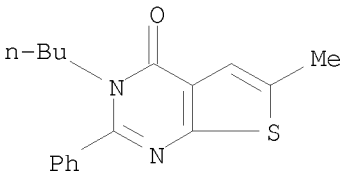


AB 4-Hydrazinothieno[2,3-d]pyrimidines undergo cyclization with HNO2 to give tetrazolo[1,5-c]thieno[3,2-e]pyrimidines. The latter compds. have analgesic and antiinflammatory activities.

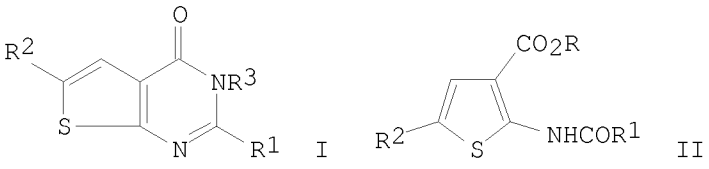
L4 ANSWER 30 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1981:620033 CAPLUS
DOCUMENT NUMBER: 95:220033
ORIGINAL REFERENCE NO.: 95:36713a,36716a
TITLE: Phosphoramides. XIV. Phosphorus pentoxide and amine hydrochlorides as reagents in the synthesis of thieno[2,3-d]pyrimidin-4(3H)-ones
AUTHOR(S): Nielsen, Knud Erik; Pedersen, Erik B.
CORPORATE SOURCE: Dep. Chem., Odense Univ., Odense, Den.
SOURCE: Chemica Scripta (1981), 18(3), 135-8
CODEN: CSRPB9; ISSN: 0004-2056
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 95:220033
IT 79927-80-3 79927-83-6
RL: RCT (Reactant); RACT (Reactant or reagent))
RN 79927-80-3 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(3H)-one, 6-methyl-2-phenyl-3-propyl- (CA INDEX NAME)

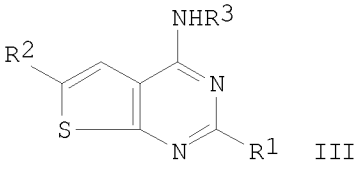


RN 79927-83-6 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(3H)-one, 3-butyl-6-methyl-2-phenyl- (CA INDEX NAME)



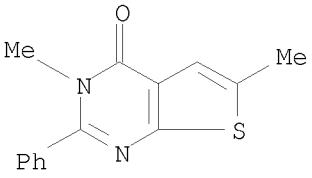
GI



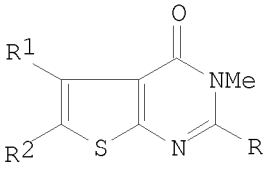


AB Thieno[2,3-d]pyrimidine-4(3H)-ones I (R1 = Me, Et, Pr, Ph; R2 = H, Me, Bu, NH2, 2-MeC6H4, etc.; R3 = H, Me) were prepared in 43-90% yields by heating thiophenecarboxylates II (R = Me, Et) with R3NH2.HCl in the presence of P2O5 and N,N-dimethylcyclohexylamine at 180°. At 240° thieno[2,3-d]pyrimidin-4-amines (III) were obtained in 27-34% yields. I (R1 = R3 = Me, R2 = H) had acaricide activity and I (R1 = Me, Et, Pr; R2 = H, R3 = Me) were plant bactericides.

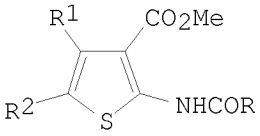
L4 ANSWER 31 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1978:509348 CAPLUS
DOCUMENT NUMBER: 89:109348
ORIGINAL REFERENCE NO.: 89:16849a,16852a
TITLE: Phosphoramides. VII. Phenyl N,N'-dimethylphosphorodiamidate as a reagent for synthesis of 3-methylthieno[2,3-d]pyrimidin-4(3H)-ones
AUTHOR(S): Nielsen, Knud Erik; Pedersen, Erik B.
CORPORATE SOURCE: Dep. Chem., Odense Univ., Odense, Den.
SOURCE: Acta Chemica Scandinavica, Series B: Organic Chemistry and Biochemistry (1978), B32(4), 303-5
CODEN: ACBOCV; ISSN: 0302-4369
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 89:109348
IT 67171-48-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 67171-48-6 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(3H)-one, 3,6-dimethyl-2-phenyl- (CA INDEX NAME)



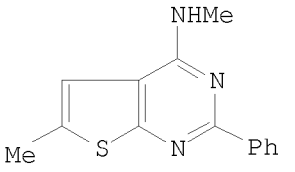
GI



I



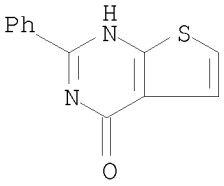
II



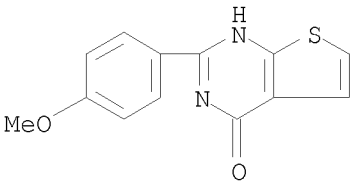
III

AB 3-Methylthieno[2,3-d]pyrimidin-4(3H)-ones I (R = R1 = R2 = H; R = Me, R1 = H, R2 = Me, Et, Ph; R = Me, R1 = Ph, R2 = H; R = Ph, R1 = H, R2 = Me) were prepared by cyclization of the thiophenes II with (MeNH)2P(:O)OPh. 6-Methyl-2-phenyl-4-methylaminothieno[2,3-D]pyrimidine (III) was also isolated in 45% yield.

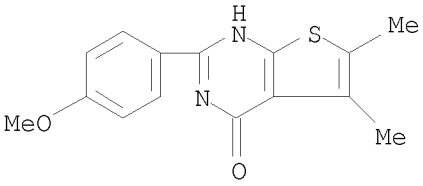
L4 ANSWER 32 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1977:43651 CAPLUS
DOCUMENT NUMBER: 86:43651
ORIGINAL REFERENCE NO.: 86:6945a,6948a
TITLE: Syntheses of 5-alkyl-2-arylpyrimidin-4(3H)-ones
AUTHOR(S): Sauter, Fritz; Stanetty, Peter; Fuhrmann, Ferdinand
CORPORATE SOURCE: Inst. Org. Chem., Tech. Univ. Wien, Vienna, Austria
SOURCE: Monatshefte fuer Chemie (1976), 107(5), 1193-7
CODEN: MOCMB7; ISSN: 0026-9247
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 86:43651
IT 56843-76-6 60442-56-0 60442-57-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(desulfurization of)
RN 56843-76-6 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-phenyl- (CA INDEX NAME)



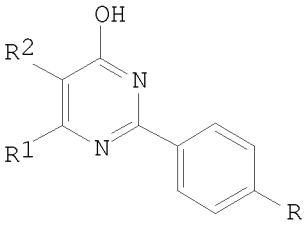
RN 60442-56-0 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-(4-methoxyphenyl)- (CA INDEX NAME)



RN 60442-57-1 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-(4-methoxyphenyl)-5,6-dimethyl- (CA INDEX NAME)

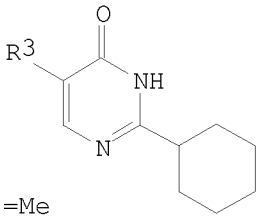


GI



I, R=OMe, R^1=H

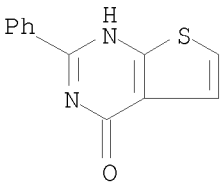
III, R=H or Cl, R^1=Me



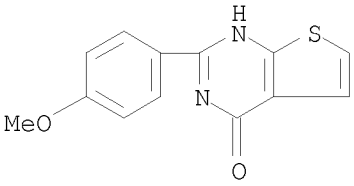
IV

AB The arylpyrimidinones I (R2 = Et, EtCHMe, cyclohexyl) were prepared by reductive desulfurization of the corresponding 2-arylthieno[2,3-d]pyrimidin-4(3H)-ones (II) and 2-aryl[1]benzothieno[2,3-d]pyrimidin-4(3H)-ones; III (R2 = Me2CH, Bu, EtCHMe) were prepared by cyclization of α-alkylacetoacetates with benzamidines. In some cases Raney Ni desulfurization of II gave 2-cyclohexyl derivs. IV (R3 = Et, cyclohexyl).

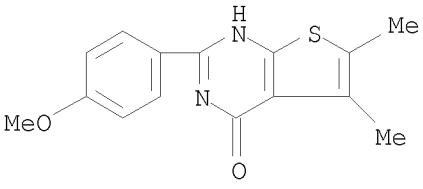
L4 ANSWER 33 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1976:523697 CAPLUS
DOCUMENT NUMBER: 85:123697
ORIGINAL REFERENCE NO.: 85:19849a,19852a
TITLE: New derivatives of 2-(acylamino)thiophene- (and benzo[b]thiophene)-3-carboxylic acid and ([1]benzo-)thieno[2,3-d]pyrimidin-4(3H)-one
AUTHOR(S): Sauter, Fritz; Stanetty, Peter; Potuzak, Hans; Baradar, Morteza
CORPORATE SOURCE: Inst. Org. Chem., Tech. Univ. Wien, Vienna, Austria
SOURCE: Monatshefte fuer Chemie (1976), 107(3), 669-73
CODEN: MOCMB7; ISSN: 0026-9247
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 85:123697
IT 56843-76-6P 60442-56-0P 60442-57-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 56843-76-6 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-phenyl- (CA INDEX NAME)



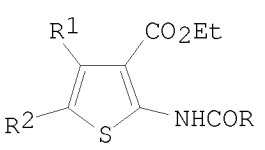
RN 60442-56-0 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-(4-methoxyphenyl)- (CA INDEX NAME)



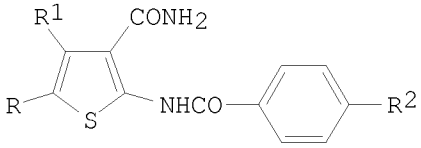
RN 60442-57-1 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-(4-methoxyphenyl)-5,6-dimethyl- (CA INDEX NAME)



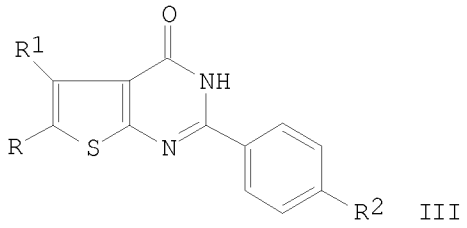
GI



I



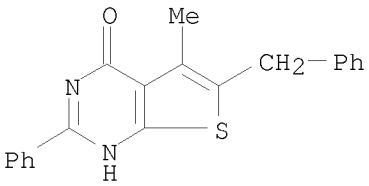
II



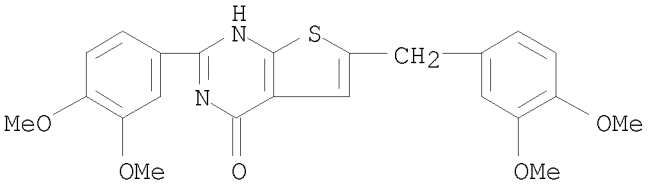
III

AB The title compds. I [R = ClCH2, MeNHCH2, H2NCH2; 4-pyridyl, EtO, etc.; R1 = R2 = Me; R1 = Me, R2 = CO2Et; R1R2 = (CH2)4] and II [RR1 = (CH2)4; R = R1 = H, Me; R2 = H, MeO, Cl, NO2, NH2] were prepared by acylation of the corresponding amines, in some cases followed by reactions introducing a basic substituent. Cyclization of II gave III.

L4 ANSWER 34 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1975:531544 CAPLUS
DOCUMENT NUMBER: 83:131544
ORIGINAL REFERENCE NO.: 83:20697a,20700a
TITLE: Thiophene bioisosteres. III. 4-Oxo-1,2,3,4-tetrahydrothieno[2,3-d]pyrimidines
AUTHOR(S): Cruceyra, A.; Gomez Parra, V.; Madronero, R.
CORPORATE SOURCE: Inst. Quim. Med. Juan de la Cierva, Madrid, Spain
SOURCE: Anales de Quimica (1968-1979) (1975), 71(1), 103-6
CODEN: ANQUBU; ISSN: 0365-4990
DOCUMENT TYPE: Journal
LANGUAGE: Spanish
OTHER SOURCE(S): CASREACT 83:131544
IT 57243-82-0P 57243-84-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 57243-82-0 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 5-methyl-2-phenyl-6-(phenylmethyl)- (CA INDEX NAME)

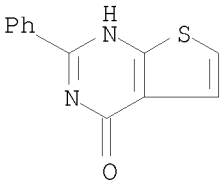


RN 57243-84-2 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-(3,4-dimethoxyphenyl)-6-[(3,4-dimethoxyphenyl)methyl]- (CA INDEX NAME)



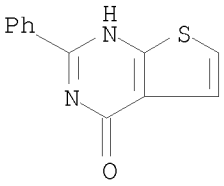
GI For diagram(s), see printed CA Issue.
AB Thienopyrimidines I (R = 3,4,5-(MeO)3C6H2, 3,4-methylenedioxyphenyl, 4-MeOC6H4, 2-ClC6H4, 3,4-(MeO)2C6H3, 4-MeC6H4) were obtained in 58-92% yield by condensing 2-amino-3-carbamoyl-4,5,6,7-tetrahydrobenzothiophene with RCHO. Condensation of 2-amino-3-carbamoyl-4-methylthiophene with RCHO gave II (R = Ph, 3,4-methylenedioxyphenyl, 3,4-(MeO)2C6H3, 3-pyridyl).

L4 ANSWER 35 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1975:514329 CAPLUS
DOCUMENT NUMBER: 83:114329
ORIGINAL REFERENCE NO.: 83:17958h,17959a
TITLE: Synthesis of thieno[2,3-d]pyrimidines substituted in positions 2 and 4
AUTHOR(S): Bourguignon, J.; Gougeon, E.; Queguiner, G.; Pastour, P.
CORPORATE SOURCE: Lab. Chim. Org., Inst. Natl. Super. Chim. Ind. Rouen, Mont-Saint-Aignan, Fr.
SOURCE: Bulletin de la Societe Chimique de France (1975), (3-4, Pt. 2), 815-19
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 83:114329
IT 56843-76-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and chloro substitution of)
RN 56843-76-6 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-phenyl- (CA INDEX NAME)



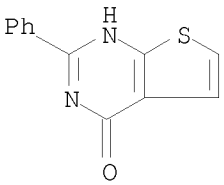
GI For diagram(s), see printed CA Issue.
AB 2-Amino-3-thiophenecarboxamide was acylated with RCOCl to give I, which cyclized to give II (R = Me, Ph, 2-pyridyl, 2-thienyl), which was chlorinated to give III (R1 = Cl) (IV). IV was aminated to give III [R1 = NHNH2 (V), morpholino, NHCH2CH2OH (VI)]. V cyclized with HC(OMe)3 to give VII (X = CH). IV cyclized with NaN3 to give VII (X = N). VI cyclized to give VIII.

L4 ANSWER 36 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1975:514328 CAPLUS
DOCUMENT NUMBER: 83:114328
ORIGINAL REFERENCE NO.: 83:17955a,17958a
TITLE: Thienopyrimidines. VI. Halothieno[2,3-d]pyrimidines
AUTHOR(S): Robba, Max; Lecomte, Jeanne M.; Cugnon de Sevricourt, Michel
CORPORATE SOURCE: Lab. Pharm. Chim., UER Sci. Pharm., Caen, Fr.
SOURCE: Bulletin de la Societe Chimique de France (1975), (3-4, Pt. 2), 592-7
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 83:114328
IT 56843-76-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(halogenation of)
RN 56843-76-6 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-phenyl- (CA INDEX NAME)



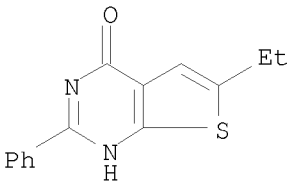
GI For diagram(s), see printed CA Issue.
AB Thieno[2,3-d]pyrimidines were halogenated to give approx. 20 halothieno[2,3-d]pyrimidines, which were aminated to give approx. 20 aminothieno[2,3-d]pyrimidines. The halothieno[2,3-d]pyrimidines were also treated with alcs., phenol, and thiophenol to give the alkoxy, aryloxy, and arylthio derivs. Thus, I was treated with POCl3 to give II (R = Cl) (III). III was treated with amines to give II (R = MeNH, EtNH, PhNH), and with Et2NH III gave II (R = Et2N). III with R1ONa in R1OH gave II (R = MeO, EtO, PhO). With PhSNa and PhSH III gave II (R = PhS).

L4 ANSWER 37 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1975:514322 CAPLUS
DOCUMENT NUMBER: 83:114322
ORIGINAL REFERENCE NO.: 83:17955a,17958a
TITLE: Thienopyrimidines. V. Thieno[2,3-d]pyrimidones
AUTHOR(S): Robba, M.; Lecomte, J. M.; Cugnon de Sevricourt, M.
CORPORATE SOURCE: Lab. Pharm. Chim., UER Sci. Pharm., Caen, Fr.
SOURCE: Bulletin de la Societe Chimique de France (1975), (3-4, Pt. 2), 587-91
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 83:114322
IT 56843-76-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 56843-76-6 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-phenyl- (CA INDEX NAME)

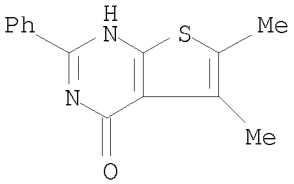


GI For diagram(s), see printed CA Issue.
AB Approx. 60-thienol[2,3-d]pyrimidines were prepared by cyclization of aminothiophenecarboxylate derivs. Thus, I (R1 = CONH2, CN) cyclized to II (R = Me, Ph; R2 = R3 = H), while I (R = Et, R1 = CONHEt) cyclized to II (R = Et, R2 = R3 = H). II (R = R2 = R3 = H) (III), prepared from Me 2-amino-3-thiophenecarboxylate and HCONH2 and from Me 2-formamido-3-thiophenecarboxylate, was alkylated to give II (R = R3 = H, R2 = Me, CH2CO2H, CH2CH2CN, PhCH2). III was brominated, chlorinated, and nitrated. Also prepared were II (R = H, R3 = Me, R2 = Me, allyl, propargyl, CH2OH, CH2CONH2, CH2CN, CH2Ac, CH2CH2CO2Me, CH2CH2CN, CH2CH2Ac, CH2Bz).

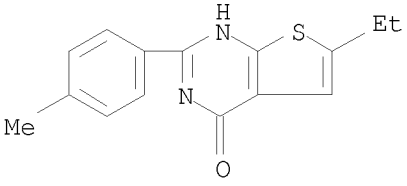
L4 ANSWER 38 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1968:419114 CAPLUS
DOCUMENT NUMBER: 69:19114
ORIGINAL REFERENCE NO.: 69:3603a,3606a
TITLE: Reactions with imidic acid esters. X. New 4-hydroxythieno[2,3-d]pyrimidines and 4-hydroxythieno[3,2-d]pyrimidines
AUTHOR(S): Ried, Walter; Giesse, Roland
CORPORATE SOURCE: Univ. Frankfurt/Main, Frankfurt/M., Fed. Rep. Ger.
SOURCE: Justus Liebigs Annalen der Chemie (1968), 713, 143-8
CODEN: JLACBF; ISSN: 0075-4617
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 69:19114
IT 18002-00-1P 18593-46-9P 18593-55-0P
    20681-31-6P
    RL: SPN (Synthetic preparation); PREP (Preparation)
    (preparation of)
RN 18002-00-1 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 6-ethyl-2-phenyl- (CA INDEX NAME)



RN 18593-46-9 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 5,6-dimethyl-2-phenyl- (CA INDEX NAME)

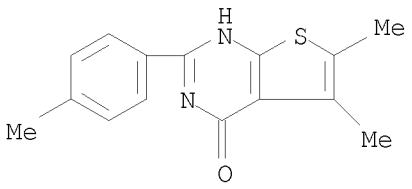


RN 18593-55-0 CAPLUS
CN Thieno[2,3-d]pyrimidin-4-ol, 6-ethyl-2-p-tolyl- (8CI) (CA INDEX NAME)



RN 20681-31-6 CAPLUS
CN Thieno[2,3-d]pyrimidin-4-ol, 5,6-dimethyl-2-p-tolyl- (8CI) (CA INDEX

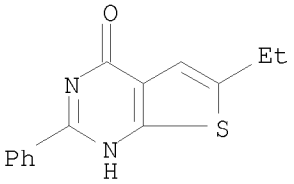
NAME)



GI For diagram(s), see printed CA Issue.

AB Me 3-aminothiophene-2-carboxylate reacted with free imidic acid esters to give 2-substituted 4-hydroxy-thieno[3,2-d]pyrimidines (I). Et 2-aminothiophene-3-carboxylate derivs. treated similarly gave 2-substituted 4-hydroxythieno[2,3-d]pyrimidine (II) derivs. I and II unsubstituted in position 2, were obtained from the above aminothiophene carboxylic acid esters with formamide.

L4 ANSWER 39 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1968:78238 CAPLUS
DOCUMENT NUMBER: 68:78238
ORIGINAL REFERENCE NO.: 68:15099a,15102a
TITLE: New 4-hydroxythienopyrimidines
AUTHOR(S): Ried, Walter; Giesse, R.
CORPORATE SOURCE: Univ. Frankfurt, Frankfurt, Fed. Rep. Ger.
SOURCE: Angewandte Chemie, International Edition in English (1968), 7(2), 136
CODEN: ACIEAY; ISSN: 0570-0833
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 18002-00-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 18002-00-1 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 6-ethyl-2-phenyl- (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.
AB 4-Hydroxythieno[2,3-d]pyrimidines (I) and 4-hydroxythieno[3,2-d]pyrimidines (II) are prepared Thus, a mixture of ethyl 2-amino-5-ethylthiophene-3-carboxylate and PhC(:NH)OEt is heated 14 hrs. at 150° to give 25% 2-phenyl-4-hydroxy-6-ethylthieno[2,3-d]pyrimidine, m. 214°. Similarly prepared are the following I (R, R1, R2, m.p., and % yield given): CCl3, Me, Me, 248°, 40; CCl3, H, Et, 220°, 50; PhCH2, (R1R2 =)(CH2)4, 250°, 30; H, H, Ph, 250°, 95. A mixture of 1.57 g. methyl 3-aminothiophene-2-carboxylate and a slight excess of p-MeC6H4C(:NH)OEt is heated 15 hrs. at 160° to give 45% 2-(p-tolyl)-4-hydroxythieno[3,2-d]pyrimidine, m. 276°. Similarly prepared are (m.p. and % yield given): II (R = CCl3), 234°, 90; II (R = H), 220°, 50.

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	219.87	398.44

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-31.20	-31.20

STN INTERNATIONAL LOGOFF AT 19:27:11 ON 10 MAR 2008